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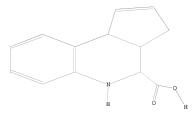
chain nodes :

exact bonds : 1-19 9-14 10-17 15-16 21-22 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-18 19-20 19-21

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS 21:CLASS 20:CLASS 21:CLASS 22:CLASS 20:CLASS 21:CLASS 22:CLASS 21:CLASS 20:CLASS 21:CLASS 22:CLASS 21:CLASS 20:CLASS 21:CLASS 22:CLASS 21:CLASS 21:

## L1 STRUCTURE UPLOADED

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SEARCH TIME: 00.00.01

178 ANSWERS

L2 178 SEA SSS FUL L1

=> d scan

L2 178 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

6-cyano-3a, 4, 5, 9b-tetrahydro-, (3aR, 4S, 9bS)-

MF C14 H12 N2 O2

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 178 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C14 H15 N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

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=> s 12

43 L2 L3

=> d 13 1-43 ibib abs hitstr

L3 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:506684 CAPLUS

DOCUMENT NUMBER: 152:446768

TITLE: Compounds that inhibit human DNA ligases and methods

of treating cancer INVENTOR(S):

Tomkinson, Alan E.; Chen, Xi; Dziegielewska, Barbara; Mackerell, Alexander D.; Zhong, Shijun; Wilson, Gerald

Tomkinson, Alan, USA; Dziegielewska, Barbara

PATENT ASSIGNEE(S): SOURCE: U.S. Pat. Appl. Publ., 139pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT :	. OV			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
						-									-		
US :	2010	0099	683		A1		2010	0422		US 2	009-	5764	10		2	0091	009
WO :	2008	1248	38		A1		2008	1016		WO 2	008-	US59	931		2	0800	410
	W:	ΑE,	AG,	AL,	AM,	ΑΟ,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG, KM, KN			KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
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		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
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ORITY	APP	LN.	INFO	. :						US 2	007-	9110	00P		P 2	0070	410

PRIORITY APPLN. INFO.: WO 2008-US59931 A2 20080410

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Methods for treating cancer using compds. that inhibit human DNA ligases. Methods for using compds. that inhibit human DNA ligases to provide insights into the reaction mechanisms of human DNA ligases, for example to identify the human DNA ligase involved in different DNA repair pathways. Screening methods for compds. that inhibit human DNA ligases.

IT 354816-31-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(compds. that inhibit human DNA ligases and methods of treating cancer) RN 354816-31-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-ethoxy-3a,4,5,9b-tetrahydro-6-nitro- (CA INDEX NAME)

SOURCE:

L3 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:159922 CAPLUS

DOCUMENT NUMBER: 152:326153

TITLE: New Substructure Filters for Removal of Pan Assay

Interference Compounds (PAINS) from Screening Libraries and for Their Exclusion in Bioassays

AUTHOR(S): Baell, Jonathan B.; Holloway, Georgina A.

CORPORATE SOURCE: The Witer and Eliza Hall Institute of Medical

Research, IG Royal Parade, Parkville, Victoria, 3052, Australia

Journal of Medicinal Chemistry (2010), 53(7), 2719-2740

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB This report describes a number of substructural features which can help to identify compds. that appear as frequent hitters (promiscuous compds.) in many biochem. high throughput screens. The compds. identified by such substructural features are not recognized by filters commonly used to identify reactive compds. Even though these substructural features were identified using only one assay detection technol., such compds. have been reported to be active from many different assays. In fact, these compds. are increasingly prevalent in the literature as potential starting points for further exploration, whereas they may not be.

IT 342405-93-0

RL: PAC (Pharmacological activity); BIOL (Biological study) (new substructure filters for removal of pan assay interference compds. (PAINS) from screening libraries and for their exclusion in bioassays)

RN 342405-93-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)

REFERENCE COUNT:

215 THERE ARE 215 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:20794 CAPLUS DOCUMENT NUMBER: 152:136788

TITLE:

Heparan sulfate inhibitors INVENTOR(S):

Crawford, Brett E.; Glass, Charles A.; Brown, Jillian R.; Witt, Robert G.; Vollrath, Benedikt; Lichter, Jav

PATENT ASSIGNEE(S): Zacharon Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 167pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

E	PATENT				KIN	D	DATE				ICAT					ATE	
7	VO 2010				A2		2010									0090	
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
		ES,	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
	MD, ME, MC					MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,
	PG, PH, PL				PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
	SY, TJ, TM			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW
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		SK,	SM,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,
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										US 2	009-	1599	76P	1		0090	
										US 2	009-	1642	86P	1	P 2	0090	327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT MARPAT 152:136788

OTHER SOURCE(S): Provided herein are heparan sulfate inhibitors, including modulators of heparan sulfate glycosylation, heparan sulfate sulfation, and/or heparan sulfate epimerization. Provided in certain embodiments, herein is a process for modifying the structure of a glycosaminoglycan (e.g., heparan sulfate) on a core protein, comprising contacting a cell that translationally produces at least one core protein having at least one attached glycosaminoglycan (e.g., heparan sulfate) moiety with a selective inhibitor of glycosaminoglycan (e.g., heparan sulfate) biosynthesis, including a heparan sulfate glycosyltransferase, a heparan sulfate sulfotransferase, a heparan sulfate phosphotransferase, or a heparan

sulfate epimerase. Provided in some embodiments herein is a process of inhibiting heparan sulfate function in a cell comprising contacting the cell with a selective modulator of heparan sulfate biosynthesis. In certain embodiments, the cell is present in a human diagnosed with cancer. Provided in certain embodiments herein is a method of treating a lysosomal storage disease.

T 312713-97-6 RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heparan sulfate inhibitors in relation to attachment to proteins for treatment of cancer and lysosomal storage disease)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L3 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875997 CAPLUS

DOCUMENT NUMBER: 151:115085

TITLE: Method using lifespan-altering compounds for altering

the lifespan of eukaryotic organisms, and screening

for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P P	20080125
			US 2007-16362P P	20071221
			US 2008-341615	20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB3 The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

T 353484-61-4 935279-96-2

RL: PAC (Pharmacological activity); BIOL (Biological study) (method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.) RN 353484-61-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)

RN 935279-96-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro-6, 7-dimethyl- (CA INDEX NAME)

L3 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875996 CAPLUS

DOCUMENT NUMBER: 151:115084

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukarvotic organisms, and screening

for such compounds

INVENTOR(S): Goldfarb, David Scott

SOURCE: University of Rochester, USA

U.S. Pat. Appl. Publ., 57pp. CODEN: USXXCO

LANGUAGE: English FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO. KIND DATE APPLICATION NO. DATE US 20090163545 US 2008-341615 20081222 A1 20090625 US 2008-341615 US 20090163545 A1 20090625 20081222 PRIORITY APPLN. INFO.: US 2008-23801P P 20080125 US 2007-16362P 20071221 US 2008-341615 20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic

organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 354815-85-3 354815-91-1 474090-84-1

496854-79-6 RL: PAC (Pharmacological activity); BIOL (Biological study)

(method using lifespan-altering compds. for altering lifespan of eukarvotic organisms, and screening for such compds.)

RN 354815-85-3 CAPLUS CN 3H-Cyclopenta[c]quin

3H-Cyclopenta[c]quinoline-4-carboxylic acid,

3a, 4, 5, 9b-tetrahydro-6-(4-morpholinylcarbonyl)- (CA INDEX NAME)

RN 354815-91-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)

RN 474090-84-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
8-cyclohexyl ester (CA INDEX NAME)

RN 496854-79-6 CAPLUS CN 3H-Cyclopenta[c]qui

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

L3 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875995 CAPLUS

DOCUMENT NUMBER: 151:115083

TITLE: Method using lifespan-altering compounds for altering

the lifespan of eukaryotic organisms, and screening

for such compounds
INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 20090163545	A1	20090625	US 2008-341615		20081222
US 20090163545	A1	20090625	US 2008-341615		20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P	20080125
			US 2007-16362P	P	20071221
			US 2008-341615		20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic

organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

247225-88-3

CN

RL: PAC (Pharmacological activity); BIOL (Biological study) (method using lifespan-altering compds. for altering lifespan of eukarvotic organisms, and screening for such compds.)

247225-88-3 CAPLUS

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro-6-methoxy- (CA INDEX NAME)

CORPORATE SOURCE:

PUBLISHER:

AB

ANSWER 7 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN 2009:860807 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 151:350055

TITLE: Cdc25B Dual-Specificity Phosphatase Inhibitors

Identified in a High-Throughput Screen of the NIH

Pittsburgh Molecular Library Screening Center,

Compound Library

Johnston, Paul A.; Foster, Caleb A.; Tierno, Marni AUTHOR(S): Brisson; Shun, Tong Ying; Shinde, Sunita N.; Paguette,

William D.; Brummond, Kay M.; Wipf, Peter; Lazo, John

University of Pittsburgh Drug Discovery Institute,

University of Pittsburgh, USA SOURCE:

Assay and Drug Development Technologies (2009), 7(3),

250-265

CODEN: ADDTAR; ISSN: 1540-658X

Mary Ann Liebert, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

The University of Pittsburgh Mol. Library Screening Center (Pittsburgh, PA) conducted a screen with the National Institutes of Health compound library for inhibitors of in vitro cell division cycle 25 protein (Cdc25) B activity during the pilot phase of the Mol. Library Screening Center Network. Seventy-nine (0.12%) of the 65,239 compds. screened at 10 μM met the active criterion of ≥50% inhibition of Cdc25B activity, and 25 (31.6%) of these were confirmed as Cdc25B inhibitors with 50% inhibitory concentration (IC50) values <50 µM. Thirteen of the Cdc25B inhibitors were represented by singleton chemical structures, and 12 were divided among four clusters of related structures. Thirteen (52%) of the Cdc25B inhibitor hits were quinone-based structures. The Cdc25B inhibitors were further characterized in a series of in vitro secondary assays to confirm their activity, to determine their phosphatase selectivity against two other dual-specificity phosphatases, mitogen-activated protein kinase phosphatase (MKP)-1 and MKP-3, and to examine if the mechanism of Cdc25B inhibition involved oxidation and inactivation. Nine Cdc25B inhibitors did not appear to affect Cdc25B through a mechanism involving oxidation because they did not generate detectable amts. of H2O2 in the

presence of dithiothreitol, and their Cdc25B IC50 values were not significantly affected by exchanging the dithiothreitol for B-mercaptoethanol or reduced glutathione or by adding catalase to the assay. Six of the nonoxidative hits were selective for Cdc25B inhibition vs. MKP-1 and MKP-3, but only the two bisfuran-containing hits, PubChem substance identifiers 4258795 and 4260465, significantly inhibited the growth of human MBA-MD-435 breast and PC-3 prostate cancer cell lines. confirm the structure and biol. activity of 4260465, the compound was resynthesized along with two analogs. Neither of the substitutions to the two analogs was tolerated, and only the resynthesized hit 26683752 inhibited Cdc25B activity in vitro (IC50 =  $13.83 \pm 1.0 \mu M$ ) and significantly inhibited the growth of the MBA-MD-435 breast and PC-3 prostate cancer cell lines (IC50 = 20.16  $\pm$  2.0  $\mu$ M and 24.87  $\pm$ 2.25 µM, resp.). The two bis-furan-containing hits identified in the screen represent novel nonoxidative Cdc25B inhibitor chemotypes that block tumor cell proliferation. The availability of non-redox active Cdc25B inhibitors should provide valuable tools to explore the inhibition of the Cdc25 phosphatases as potential mono- or combination therapies for cancer. 247225-88-3, SID 850390 354815-91-1, SID 843791 474090-84-1, SID 4249621 496854-79-6, SID 851514

935279-96-2, SID 884096 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdc25B dual-specificity phosphatase inhibitors identified in a high-throughput screen of NIH compound library)

RN 247225-88-3 CAPLUS

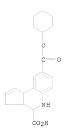
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)

RN 354815-91-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)

RN 474090-84-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester (CA INDEX NAME)



RN 496854-79-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

RN 935279-96-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)

OS.CITING REF COUNT:

1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:710038 CAPLUS DOCUMENT NUMBER: 151:33434

TITLE: Preparation of substituted tetrahydroquinoline derivatives for use as antibacterial agents

INVENTOR(S): Frechette, Roger
PATENT ASSIGNEE(S): Maxthera, Inc., USA

SOURCE: PCT Int. Appl., 41pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

GI

PATENT NO. KIND DATE APPLICATION NO. DATE -----WO 2009073550 A2 20090611 WO 2008-US84963 20081126 A3 20090730 WO 2009073550 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA A1 20090813 US 2008-324496 20081126 US 2007-991535P P 20071130 US 20090203726 PRIORITY APPLN. INFO.: ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 151:33434

IC50 value of >200 µM.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [ring A = (un)substituted cycloalkyl or cycloalkenyl group; Rl, R2, R3, and R4 independently = H, halo, NO2, CN, (un)substituted aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as antibacterial agents. Thus, e.g., II was prepared by amidation of aniline with 4-Et ester 3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline-4,6-dicarboxylic acid. Select I were evaluated in EFT E coli assaws, e.g., II demostrated an

ΙT	316187-19-6P	347362-65-6P	353484-21-6P
	354816-24-3P	497141-19-2P	848085-70-1P
	1159942-84-3P	1159942-92-3P	1159942-94-5P
	1159943-00-6P	1159943-02-8P	1159943-05-1P
	1159943-08-4P	1159943-12-0P	1159943-14-2P
	1159943-16-4P	1159943-20-0P	1159943-22-2P
	1159943-24-4P	1159943-26-6P	1159943-28-8P
	1159943-30-2P	1159943-32-4P	1159943-34-6P
	1159943-36-8P	1159943-38-0P	1159943-40-4P
	1159943-42-6P	1159943-44-8P	1159943-46-0P
	1159943-48-2P	1159943-50-6P	1159943-52-8P
	1159943-54-0P	1159943-56-2P	1159943-58-4P
	1159943-60-8P	1159943-63-1P	1159943-66-4P
	1159943-69-7P	1159943-71-1P	1159943-73-3P
	1159943-75-5P	1159943-77-7P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted tetrahydroquinoline derivs. for use as antibacterial agents)  $\,$ 

RN 316187-19-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 347362-65-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 353484-21-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

- RN 354816-24-3 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 497141-19-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 848085-70-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 9-chloro-8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 1159942-84-3 CAPLUS CN 3H-Cyclopentalclquin

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-7-(trifluoromethyl)- (CA INDEX NAME)

RN 1159942-92-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

3a, 4, 5, 9b-tetrahydro-6-[(phenylamino)carbonyl]- (CA INDEX NAME)

RN 1159942-94-5 CAPLUS

N 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[(4-phenyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

RN 1159943-00-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[[(4-chlorophenyl)amino]carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 1159943-02-8 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4,5,9b-tetrahydro-6-[[(4-methoxyphenyl)amino]carbonyl]- (CA INDEX NAME)

RN 1159943-05-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[([l,1'-biphenyl]-4-ylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 1159943-08-4 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[[(4'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-(CA INDEX NAME)

RN 1159943-12-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-(2'-carboxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

RN 1159943-14-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4,5,9b-tetrahydro-6-[[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4yl]amino]carbonyl]- (CA INDEX NAME)

- RN 1159943-16-4 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(3-chloro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

- RN 1159943-20-0 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
  6-(3-nitro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

- RN 1159943-22-2 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
  6-(4'-cyano[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

- RN 1159943-24-4 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(phenoxymethyl)- (CA INDEX NAME)

RN 1159943-26-6 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid,
6-[[4-(1,1-dimethylethyl)phenoxy]methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 1159943-28-8 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[(4-chlorophenoxy)methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 1159943-30-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[(4-methylphenoxy)methyl]- (CA INDEX NAME)

RN 1159943-32-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

3a, 4, 5, 9b-tetrahydro-6-(phenylmethoxy)- (CA INDEX NAME)

RN 1159943-34-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[(4-methylphenyl)methoxy]- (CA INDEX NAME)

RN 1159943-36-8 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4'-hydroxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

RN 1159943-38-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4'-methoxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

RN 1159943-40-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4'-nitro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

- RN 1159943-42-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4'-chloro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)

- RN 1159943-44-8 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-[4'-[(dimethylamino)carbonyl][1,1'-biphenyl]-4-yl] ester (CA INDEX NAME)

- RN 1159943-46-0 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[([1,1'-biphenyl]-4-yloxy)methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 1159943-48-2 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[(2-cyano[1,1'-biphenyl]-4-yl)methoxy]-3a,4,5,9b-tetrahydro- (CA INDEX

- RN 1159943-50-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
  3a, 4,5,9b-tetrahydro-6-[(4'-methoxy[1,1'-biphenyl]-4-y1)methoxy]- (CA
  INDEX NAME)

- RN 1159943-52-8 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-phenoxyphenyl) ester (CA INDEX NAME)

- RN 1159943-54-0 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro-, 6-[1,1\*-biphenyl]-4-yl ester (CA INDEX NAME)

RN 1159943-56-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4-benzoylphenyl) ester (CA INDEX NAME)

RN 1159943-58-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-[4-(acetylphenylamino)phenyl] ester (CA INDEX NAME)

RN 1159943-60-8 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-phenyl ester (CA INDEX NAME)

- RN 1159943-63-1 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4-methylphenyl) ester (CA INDEX NAME)

- RN 1159943-66-4 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,6-(4-chlorophenyl) ester (CA INDEX NAME)

- RN 1159943-69-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-methoxyphenyl) ester (CA INDEX NAME)

- RN 1159943-71-1 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-[4-(1,1-dimethylethyl)phenyl] ester (CA INDEX NAME)

- RN 1159943-73-3 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
  6-(4-nitrophenyl) ester (CA INDEX NAME)

- RN 1159943-75-5 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(3,5-dichlorophenyl) ester (CA INDEX NAME)

- RN 1159943-77-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[[acetyl(4'-methoxy[1,1'-biphenyl]-4-yl)amino]methyl]-3a,4,5,9b-

tetrahydro- (CA INDEX NAME)

L3 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:648998 CAPLUS

DOCUMENT NUMBER: 151:1375

TITLE: Inhibitors of MALT1 proteolytic activity and uses

thereof
INVENTOR(S): Beyaert, Rudi; Marynen, Peter; Baens, Thijs; Heyninck,

Karen

PATENT ASSIGNEE(S): VIB VZW, Belg.; Universiteit Gent; Katholieke

Universiteit Leuven, K.U. Leuven R & D

SOURCE: PCT Int. Appl., 55pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	DAT	ENT I	vio.			KIN	n	DATE			APPL	TONT	TON I	viO.		D	ATE	
	PAI	EMT I	NO.			VIM	U	DAIL			APPL	ICAI	TON	NO.		D.	AIE	
							-									-		
	WO	2009	0658	97		A2		2009	0528		WO 2	008-	EP65	925		2	0081	120
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME, MG, MK			MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL, PT, RO,			RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRIO	RIORITY APPLN. INFO.:										EP 2	007-	1212	00	- 2	A 2	0071	121
											US 2	007-	40971	P	1	P 2	0071	121

AB The present invention relates to inhibitors of MALTI proteolytic and/or autoproteolytic activity. More specifically, it relates to compde. such as, but not limited to peptide derivates such as Z-LSSR-CHO, Z-GASR-CHO, and Z-GASR-CHK, and small compde. such as 5-(15-(3-chloro-4-methylphenyl)-2-furyl]methylene}-2-thioxodihydro-

4,6(1H,5H)-pyrimidinedione and variants thereof, and the use of those compds. for the preparation of a medicament. The invention relates further to a method to screen for inhibitors of the MALT1 proteolytic and/or autoproteolytic activity.

353484-61-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibitors of MALT1 proteolytic activity such as peptide derivates and small compds. and therapeutic uses thereof)

RN 353484-61-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)

L3 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2009:523938 CAPLUS

DOCUMENT NUMBER: 150:500577
TITLE: Cosmetic or

Cosmetic or dermatological composition comprising a polymer bearing junction groups, and cosmetic treatment method

SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

	PATE	NT N	10.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
							-		0.400					200				
	WO 2	0090	1535	14		AZ		2009	0430		WO Z	008-	F.K2T	195		2	0081	003
	1	W:	ΑE,	AG,	AL,	AM,	ΑΟ,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG, KM, KN						KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,			
	PL, PT, RO,						RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	I	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
	FR 2921831							2009	0410		FR 2	007-	5809	9		2	0071	005
PRIOR	RITY	APPI	LN.	INFO	. :						FR 2	007-	5809	9		A 2	0071	005

AB The present application relates to a cosmetic or dermatol. composition comprising; in a cosmetically or dermatol. acceptable medium, a polymer comprising: (a) a polymeric backbone capable of being obtained by reacting: -a polyol comprising 3 to 6 hydroxyl groups; -a monocarboxylic acid containing 6 to 32 carbon atoms; -a polycarboxylic acid comprising at least two COOH carboxylic groups, and/or a cyclic anhydride of such a polycarboxylic acid and/or a lactone comprising at least one COOH carboxylic group; and (b) at least one junction group bonded to said polymeric backbone and capable of establishing H bonds with one or more partner junction groups, wherein each pairing of a junction group involves at least 3 H (hydrogen) bonds. The application also relates to a commetic treatment method using said composition Pentaerythrityl benzoate-isoothhalate-isostearate was prepared and used in a lipstick at a

benzoate-isophthalate-isostearate was prepared and used in a lipstick at concentration of 30%.

IT 312713-97-6D, condensation polymers 353484-21-6D, condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)

RN 312713-97-6 CAPLUS

3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN

L3 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2009:523807 CAPLUS

DOCUMENT NUMBER: 150:480205

TITLE: Composition containing a polycondensate, polycondensate and cosmetic treatment method

INVENTOR(S): Malle, Gerard

PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: PCT Int. Appl., 46pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT				KIN	D	DATE			APPL	ICAT	ION I			D.	ATE	
	2009	0535	87		A2 A3		2009 2009			WO 2	008-				2	0081	002
	W:						AT, CU,										
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG, KM, KN ME, MG, MK																
	PL, PT, RO TM, TN, TR															SY,	TJ,
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,		
							LV,										
	TR, BF, BJ TG, BW, GH														UG,	ZM,	ZW,
FR	AM, AZ, BY FR 2921829						2009							UA	2	0071	004
PRIORIT	RIORITY APPLN. INFO.:										007- 007-					0071 0071	

The invention relates to a cosmetic or pharmaceutical composition, in particular a make-up composition, containing a polycondensate that can be obtained

by reacting: polyol having 3 to 6 hydroxyl groups; saturated or unsatd., non-aromatic monocarboxylic acid; aromatic monocarboxylic acid having 7 to 11 carbon atoms; and polycarboxylic acid selected from among polycarboxylic acids containing at least one heteroatom selected from O, N and/or S, sugar-derived polycarboxylic acids, itaconic anhydride, 1,4-monoanhydride of 1,4,5,8-naphthalenetetracarboxylic acid and polycarboxylic amino acids, and/or the anhydrides thereof, and/or a lactone containing at least one COOH group. The invention also relates to a cosmetic treatment method using

said composition and to the polycondensate defined above.

IT 312713-97-6D, condensation polymers 353484-21-6D,

condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

N 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L3 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:520016 CAPLUS

DOCUMENT NUMBER: 150:455845

TITLE: Cosmetic or pharmaceutical composition containing a polycondensate, polycondensate and cosmetic treatment

method

INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
						_											
WO	WO 2009053584						2009	0430		WO 2	008-	FR51	782		2	0081	002
WO	WO 2009053584						2009	1112									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA FR 2921828 A1 20090410 FR 2007-58057 20071004 FR 2007-58057 A 20071004

PRIORITY APPLN. INFO.:

US 2007-984739P P 20071102 AB The invention relates to a cosmetic or pharmaceutical composition containing a polycondensate that can be obtained by reacting the following single monomers expressed as a percent by weight in relation to the total weight over the polycondensate: 10 - 30 weight-% of one or more poylols having 3 to 6 hydroxyl groups; 30 - 80 weight-% of one or more linear, branched and/or cyclic, saturated or unsatd., non-aromatic monocarboxylic acids having 6 to 32 carbon atoms; 1 - 40 weight-% of one or more polycarboxylic acids and/or cyclic anhydrides of one such polycarboxylic acid and/or lactones having at least one COOH group; and, optionally, 0.1 - 15 weight-% of one or more silicons having a hydroxyl and/or carboxylic function. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.

312713-97-6DP, condensation polymers 353484-21-6DP,

condensation polymers

RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L3 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:492961 CAPLUS

DOCUMENT NUMBER: 150:464207

TITLE: Methods using HePTP inhibitors for treating leukemia

and myelodysplastic syndrome, and methods for identifying agents for treating these diseases

INVENTOR(S): Mustelin, Tomas; Tautz, Lutz; Cosford, Nicholas David

Peter; Sergienko, Eduard

PATENT ASSIGNEE(S): Burnham Institute for Medical Research, USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090105240	A1	20090423	US 2007-975082	20071017
PRIORITY APPLN. INFO.:			US 2007-975082	20071017
ASSIGNMENT HISTORY FOR	US PATEN	T AVAILABLE	IN LSUS DISPLAY FORMAT	
OTHER SOURCE(S):	MARPAT	150:464207		

AB The invention discloses methods for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome and acute myelogenous leukemia. The invention further discloses compds. that can be used for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome and acute myelogenous leukemia. The invention also discloses methods for identifying compds. that can be used for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome. Compds. of the invention include HePTP inhibitors.

T 247225-88-3 353484-61-4 354815-91-1 496854-79-6 935279-96-2 1146248-16-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HePTP inhibitors for treating leukemia, pre-leukemic conditions, and myelodysplastic syndrome, and screening methods)

RN 247225-88-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)

RN 353484-61-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)

RN 354815-91-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)

RN 496854-79-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

RN 935279-96-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)

RN 1146248-16-9 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-phenyl ester (CA INDEX NAME)

L3 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

2009:427447 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 150:430676

TITLE: Cosmetic or pharmaceutical composition including a condensation polymer, the aforementioned condensation

polymer and cosmetic treatment method

INVENTOR(S): Malle, Gerard PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: Fr. Demande, 46pp. CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
FR :	2921	828			A1		2009	0410		FR 2	007-	5805	7		2	0071	004
WO :	2009	0535	84		A2		2009	0430	,	WO 2	008-	FR51	782		2	0081	002
WO :	2009	0535	84		A3		2009	1112									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	KG, KM, KN,			KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME, MG, MK,				MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
							CI,										
		TG,	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑP,	EA,	EP,	OA			
ORITY	APP	LN.	INFO	. :						FR 2	007-	5805	7		A 2	0071	004
										C OII	007	0017	200		n 2	0071	100

PRIC US 2007-984739P P 20071102

The present request relates to a cosmetic or pharmaceutical composition including a condensation polymer likely to be obtained by reaction of the monomeric following: - from 10 to 30% in weight, compared to the total weight οf

condensation polymer, of one or more polyols including 3 to 6 hydroxyl groups; - from 30 to 80% in weight, compared to the weight total of condensation

polymer, of one or more nonarom. monocarboxylic acids, saturated or unsatd., linear, ramified and/or cyclic, including 6 to 32 carbon atoms; - from 1 to 40% in weight, compared to the total weight of condensation polymer, of one or more polycarboxylic acids and/or cyclic anhydrides of such including polycarboxylic acids and/or lactones at least one COOH; plus an optional group, from 0.1 to 15% in weight compared to the total of condensation polymer, of one or more silicones with hydroxyl and/or carboxylic function. The request also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

312713-97-6DP, condensation polymers 353484-21-6DP, condensation polymers

RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

312713-97-6 CAPLUS RN

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

353484-21-6 CAPLUS RN

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

7 L3 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427446 CAPLUS

150:430675 DOCUMENT NUMBER:

TITLE: Cosmetic compositions comprising a condensation polymer and a cosmetic treatment method

INVENTOR(S): Malle, Gerard PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: Fr. Demande, 49pp. CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

		NO.			KIN	D	DATE					ION				ATE	
	2921	829			A1		2009	0410				5805				0071	
WO 2009053587 WO 2009053587				A2 20090430 A3 20090625				WO 2	008-	FR51	788		2	0081	002		
	W:						AT,										
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
							KZ,										
							SC, UA,									SY,	TJ,
	RW:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,		
		TR.	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
							LS, MD,								UG,	ZM,	ZW,
RITY	APP	LN.	INFO	. :						FR 2	007-	5805	В	- 2	A 2	0071	004

PRIOR

US 2007-984736P P 20071102

The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a nonarom., saturated or unsatd, monocarboxylic acid; of an aromatic monocarboxylic acid (7-11 carbon atoms); and of polycarboxylic acids containing at least a heteroatom chosen from O, N, and/or S, from sugars, and polycarboxylic amino acids and/or their anhydrides, and/or a lactone. The invention also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

312713-97-6D, condensation polymers 353484-21-6D,

condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

353484-21-6 CAPLUS RN

3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-CN (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427444 CAPLUS

DOCUMENT NUMBER: 150:430673

TITLE: Cosmetic or dermatological composition including a polymer with linking groups, and a cosmetic treatment

method
INVENTOR(S): Chodorowski, Kimmes Sandrine; Giustiniani, Pascal

PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 62r

SOURCE: Fr. Demande, 62pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND DATE		APPLICATION NO.						DATE					
	2921				A1	-	2009	0410							2	0071	
WO	2009	0535	94		A2		2009	0430		WO 2	008-	FR51	795		2	0081	003
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
RITY	APP	LN.	INFO	. :						FR 2	007-	5809	9	- 1	A 2	0071	005

PRIORITY APPLN. INFO.: FR 2007-58099 A 20071005 US 2007-984738P P 20071102

- AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a monocarboxylic acid (6-32 carbon atoms); and of polycarboxylic acids containing at least 2 CO2H groups and/or their cyclic anhydrides, and/or their lactones, and a group connected to the polymer chain by H bonds. The invention also relates to a cosmetic process of treatment employing the aforementioned composition
- IT 312<sup>7</sup>13-97-6D, condensation polymers 353484-21-6D, condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic or dermatol. composition including polymer with linking groups and

## cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:332356 CAPLUS DOCUMENT NUMBER: 150:345456

TITLE:

Compositions and methods relating to HIV protease inhibition

INVENTOR(S): Carlson, Heather A.; Damm, Kelly L.; Meagher, Kristin

PATENT ASSIGNEE(S): The Regents of the University of Michigan, USA

SOURCE: PCT Int. Appl., 114pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
				-											
WO 2009036	341		A2		2009	0319		WO 2	008-	US76	258		2	0080	912
WO 2009036	341		A3		2009	0507									
W: AE	, AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
CA	, CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
FI	, GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
KG	, KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
ME	, MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
PL	, PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
TM	, TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw		

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-972505P P 20070914

MARPAT 150:345456 OTHER SOURCE(S):

The present invention relates to HIV protease, and methods for inhibiting the function of HIV protease. In particular, present invention provides compds, that inhibit or block the biol, activity of HIV protease, thereby causing the replication of the HIV virus to be inhibited or to terminate. These compds., as well as pharmaceutical compns. that contain these compds. and optionally other anti-viral agents as active ingredients, are suitable for treating patients or hosts infected with the HIV virus, which is known to cause AIDS. The compds. and formulations also find use in diagnostic and research settings.

1133136-34-1 IΤ

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods relating to HIV protease inhibition for treatment of AIDS and combination with other antiviral agents)

1133136-34-1 CAPLUS

CN 3H-Cvclopenta[c]quinoline-4,8-dicarboxvlic acid, 3a,4,5,9b-tetrahvdro-, 8-cvclohexvl ester, ion(1-), (3aS, 4S, 9bR)- (CA INDEX NAME)

## Absolute stereochemistry.

L3 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

Patent

ACCESSION NUMBER:

DOCUMENT NUMBER: 150:206401

TITLE: Methods and compositions for modulating RAD51 and

2009:138991 CAPLUS

homologous recombination INVENTOR(S): Connell, Philip P.; Bishop, Douglas K.; Weichselbaum,

Ralph R.

PATENT ASSIGNEE(S): University of Chicago, USA

PCT Int. Appl., 133pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009018219	A2	20090205	WO 2008-US71364	20080728
WO 2009018219	A3	20090416		

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W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.:
                                            US 2007-952565P
                                                                P 20070728
                                            US 2007-972593P
                                                                P 20070914
                                            US 2008-24497P
                                                                  20080129
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US 2008-24513P

P 20080129

MARPAT 150:206401 OTHER SOURCE(S):

The invention discloses methods and compns. involving inhibitors and enhancers of RAD51, a protein involved in homologous recombination. In some embodiments, the invention discloses methods for stimulating homologous recombination, which has a number of significant research and clin. applications. In certain other embodiments, there are methods for protecting cells using a compound that enhances RAD51 activity. Such enhancers may also be employed to prevent or reduce damage to cells that may be caused by DNA-damaging agents. In other embodiments, there are methods for sensitizing cells to the effects of DNA-damaging agents, which can have particular applications for cancer patients. In some embodiments of the invention, the RAD51 enhancer or inhibitor is a small mol. that directly affects RAD51 activity, e.g. its ability to promote filament formation.

354816-24-3 IΤ 353484-37-4

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and compns. for modulating RAD51 and homologous recombination)

RN 353484-37-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo-(CA INDEX NAME)

354816-24-3 CAPLUS RN

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-fluoro-3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)



L3 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2008:1507088 CAPLUS

DOCUMENT NUMBER: 150:48004

TITLE: Methods and compounds for regulating apoptosis, and

assay for compound identification INVENTOR(S):

Reed, John C.; Yip, Kenneth; Sergienko, Eduard; Su, Ying

PATENT ASSIGNEE(S): The Burnham Institute for Medical Research, USA PCT Int. Appl., 159 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 200 WO 200 W:	)81 )81	5420 5420 AE, CA, FI, KG,	7 7 AG, CH, GB,	AL, CN,	A9 AM,	AO,		0422		WO 2						0800	
W:		AE, CA, FI, KG,	AG, CH, GB,	AL, CN,	AM,	AO,			7.7								
		CA, FI, KG,	CH, GB,	CN,			AT,	AU.	7.77								
RW		KG,		GD.		UK,	CU,										
RW							GM, KZ,										
RW							MX, SC,										
RW		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
							CZ, LV,										
							CI,										
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA			
US 200	90	1181	35		A1		2009	0507		US 2	008-3	1314:	27		2	0800	602
RITY AP		N. I	NFO.	:						US 2	007-9	9429	24P	1	P 2	00706	608

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 150:48004

An assay for determining compds. that inhibit activity of a Bcl-2 protein, or affect conversion of Bc1-2 from an antiapoptotic to a proapoptotic form are described. In addition, compds. that modulate the function of

anti-apoptotic proteins such as Bcl-2 and related Bcl-2 family members are identified.

354815-89-7 312713-96-5 353484-61-4 359418-29-4 469892-43-1 470693-57-3 473267-49-1 474090-84-1 935279-96-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(methods and compds. for regulating apoptosis, and assay for compound identification)

- RN 312713-96-5 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7-chloro-3a,4,5,9b-tetrahydro-6-methyl- (CA INDEX NAME)

- RN 353484-61-4 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)

- RN 354815-89-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

- RN 359418-29-4 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-nitro-(CA INDEX NAME)

RN 469892-43-1 CAPLUS

CN 3H-Benzo[f]cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,1lc-tetrahydro- (CA INDEX NAME)

RN 470693-57-3 CAPLUS

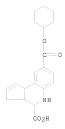
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6,8-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 473267-49-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo-8-methyl- (CA INDEX NAME)

RN 474090-84-1 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
8-cyclohexyl ester (CA INDEX NAME)



RN 935279-96-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1244211 CAPLUS

DOCUMENT NUMBER: 149:440343

TITLE: Compounds that inhibit human DNA ligases and methods

of treating cancer

INVENTOR(S): Tomkinson, Alan E.; Chen, Xi; Dziegielewska, Barbara; Mackerell, Alexander D.; Zhong, Shijun; Wilson, Gerald

М.

PATENT ASSIGNEE(S): University of Maryland, Baltimore, USA

SOURCE: PCT Int. Appl., 196pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D.	ATE	
						-											
WO	WO 2008124838				A1 20081016		1	WO 2	008-	US59	931		20080410				
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2009-576410 US 20100099683 A1 20100422 20091009 PRIORITY APPLN. INFO .: US 2007-911000P P 20070410 WO 2008-US59931 A2 20080410

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 149:440343

Methods for treating cancer using compds. that inhibit human DNA ligases. Methods for using compds. that inhibit human DNA ligases to provide insights into the reaction mechanisms of human DNA ligases, for example to identify the human DNA ligase involved in different DNA repair pathways. Screening methods for compds. that inhibit human DNA ligases.

354816-31-2

RL: PAC (Pharmacological activity); BIOL (Biological study) (compds. that inhibit human DNA ligases and methods of treating cancer) 354816-31-2 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid,

8-ethoxy-3a, 4, 5, 9b-tetrahydro-6-nitro- (CA INDEX NAME)

REFERENCE COUNT:

AUTHOR(S):

CORPORATE SOURCE:

L3 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2008:1144642 CAPLUS

DOCUMENT NUMBER: 149:462181

TITLE: Identification of Non-Nucleoside DNA Synthesis

Inhibitors of Vaccinia Virus by High-Throughput Screening

> Ciustea, Mihai; Silverman, Janice Elaine Y.; Druck Shudofsky, Abigail M.; Ricciardi, Robert P.

Department of Microbiology, School of Dental Medicine, University of Pennsylvania, Philadelphia, PA, 19104,

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SOURCE: Journal of Medicinal Chemistry (2008), 51(20),

6563-6570

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

Variola virus, the causative agent of smallpox, is a potential bioweapon. The development of new antiviral compds. for smallpox prophylaxis and treatment is critical, especially because the virus can acquire resistance to

t.he

drugs that are currently available. We have identified novel small chemical inhibitors that target DNA synthesis of vaccinia, the prototypical poxvirus. Robotic high-throughput screening of 49663 compds. and follow-up studies identified very potent inhibitors of vaccinia DNA synthesis, with IC50 values as low as  $0.5~\mu M$ . Cell-based assays showed that 16 inhibitors effectively blocked vaccinia infection with minimal cytotoxicity. Three inhibitors had selectivity indexes that approx. that of cidofovir. These new non-nucleoside inhibitors are expected to interfere with components of the vaccinia DNA synthesis apparatus that are distinct from cidofovir. On the basis of the high sequence similarity between the proteins of vaccinia and variola viruses, these new inhibitors are anticipated to be equally effective against smallpox.

354815-90-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(screening identification of non-nucleoside DNA synthesis inhibitors of Vaccinia virus)

RN 354815-90-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:191585 CAPLUS

DOCUMENT NUMBER: 148:239024

TITLE: Indole compounds for treating pain, inflammation and

other conditions

INVENTOR(S): Talley, John Jeffrey; Sprott, Kevin; Pearson, James Philip; Milne, G. Todd; Schairer, Wayne; Yang, Jing Jing; Kim, Charles; Barden, Timothy; Lundigran,

Regina; Mermerian, Ara; Currie, Mark G.

PATENT ASSIGNEE(S): Microbia, Inc., USA

SOURCE: PCT Int. Appl., 877 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D	ATE	
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WO 2008019	357		A2		2008	0214		WO 2	007-1	JS75	332		2	0070	807
WO 2008019	357		A3		2008	0821									
W: AE	, AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
CF	, CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
GE	, GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
KI:	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,

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            PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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    AU 2007281747
                                        AU 2007-281747
                        A1
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    CA 2660704
                         A1
                               20080214
                                        CA 2007-2660704
    EP 2049520
                         A2
                               20090422 EP 2007-840734
                                                                 20070807
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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            AL, BA, HR, MK, RS
    MX 2009001327
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                                                                 20090204
    IN 2009KN00702
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                              20090515
                                          IN 2009-KN702
                                                                 20090223
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                                          NO 2009-1020
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                        A
    KR 2009054984
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20091021
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    CN 101563337
                        A
                                          CN 2007-80037046
                                                                 20090402
PRIORITY APPLN. INFO.:
                                           US 2006-836108P
                                                             P 20060807
                                                             P 20061218
                                           US 2006-875792P
                                           US 2007-945306P
                                                              P 20070620
                                                              W 20070807
                                           WO 2007-US75332
OTHER SOURCE(S):
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GI

CASREACT 148:239024; MARPAT 148:239024

The title indoles such as I [V, W, X, Y, Z, J, K, L and M = N or C; P1-P6 AB = N or C; Q1-Q5 = N or C; A and A1 = OH or (un)substituted alkoxy; or A and Al taken together = O, N(OH), N(OMe); or A and Al together with the carbon atom to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally substituted; R2 = halo, OH, NO2, etc.; R4-R17 = absent, H, halo, NO2, etc.; with the provisos] that are useful for treating pain, inflammation and other conditions are described. Certain of the compds. I are benzyl derivs. and others are benzoyl derivs. The compds. I are substituted at least at the 3 position of the indole. General synthetic methods for the preparation of compds. I are described. E.g., a multistep synthesis of

{1-[(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-1H-indole-3-

yl}acetic acid, starting from 3-fluoro-4-methoxyaniline, was given. Pharmaceutical composition comprising the compound I is disclosed.

474376-37-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indole compds. useful in treatment of pain, inflammation and other diseases)

RN 474376-37-9 CAPLUS

CM3H-Cyclopenta(c)quinoline-4-carboxylic acid,

9-bromo-3a, 4, 5, 9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD 2 (2 CITINGS)

L3 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:969550 CAPLUS

DOCUMENT NUMBER: 147:315119

TITLE: Novel antagonists of the human fatty acid synthase

thioesterase INVENTOR(S):

Smith, Jeffrey W.; Richardson, Robyn D. PATENT ASSIGNEE(S):

Burnham Institute, USA SOURCE:

U.S. Pat. Appl. Publ., 160 pp. CODEN: USXXCO

DOCUMENT TYPE: Patent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070203236	A1	20070830	US 2007-622339	20070111
PRIORITY APPLN. INFO.:			US 2006-758103P P	20060111
ASSIGNMENT HISTORY FOR	US PATEN	T AVAILABLE	IN LSUS DISPLAY FORMAT	
OTHER SOURCE(S):	MARPAT	147:315119		

The invention provides compds, and methods useful to inhibit a thioesterase containing polypeptide. More than 35,000 compds. were screened for antagonists of the fatty acid synthase thioesterase domain or a pathogen-specific thioesterase containing polypeptide using a fluorogenic high throughput assay. Noncompetitive inhibitors that interact with the thioesterase at a site distinct from the substrate-binding site were identified. The thioesterase antagonists of the invention include pyrazolidines, pyrozoles, di-Ph acetamides, pyrrolidiones, thioxopyridmidine diones, quinolones and barbituric acid derivs. In particular, 19 thiobarbituric or barbituric acid derivs., 8 of which have an IC50 of less than 5  $\mu M$  in vitro, were identified. The most potent of these barbituric acid derivs. blocked the activity of the human fatty acid synthase holoenzyme and were cytotoxic to breast cancer cells. Also provided are antagonists of thioesterase containing polypeptides of pathogens, e.g., Escherichia coli and Yersinia pestis. The invention provides

compds. useful for treatment of cancer or an infection of a mammal by a pathogen and other diseases.

312713-96-5 470693-57-3

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel antagonists of human fatty acid synthase thioesterase and pathogen-specific thioesterase for treatment of cancer and infection and other diseases)

RN 312713-96-5 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid,

7-chloro-3a, 4, 5, 9b-tetrahydro-6-methyl- (CA INDEX NAME)

470693-57-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6,8-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:840784 CAPLUS

DOCUMENT NUMBER: 147:377557

TITLE: Structure-based discovery of new small molecule

inhibitors of low molecular weight protein tyrosine

phosphatase

Vidal, David; Blobel, Jascha; Perez, Yolanda; AUTHOR(S):

Thormann, Michael; Pons, Miquel

Laboratory of Biomolecular NMR, Institute for Research CORPORATE SOURCE:

in Biomedicine (IRB), Barcelona, 08028, Spain

SOURCE: European Journal of Medicinal Chemistry (2007), 42(8),

1102-1108

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier Masson SAS

DOCUMENT TYPE: Journal

LANGUAGE: English

The application of a fully integrated and automated virtual screening method for identifying potential and novel inhibitors of bovine lmwPTP is described. The protocol makes extensive use of the recently introduced LINGO tools, which allow the extraction of the implicit chemical information present in SMILES representations. Nine out of 34 compds selected from a database of almost 500 000 com. available compds. were exptl. confirmed to be competitive inhibitors of imwFTP, two of them showing Ri values around 10 µM. The best inhibitors previously described had Ri values higher than 1 mM. These results constitute an exptl. validation of the virtual screening algorithm and provide a basis for the optimization of pharmacol. interesting lmwFTP inhibitors.

IT 353484-21-6

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-based discovery of new small mol. inhibitors of low mol. weight protein tyrosine phosphatase)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

NH CO2H

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS

RECORD (12 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:792007 CAPLUS

DOCUMENT NUMBER: 147:157334
TITLE: Development and implementation of a 384-well

homogeneous fluorescence intensity high-throughput screening assay to identify mitogen-activated protein

kinase phosphatase-1 dual-specificity protein phosphatase inhibitors

AUTHOR(S): Johnston, Paul A.; Foster, Caleb A.; Shun, Tong Ying;

Skoko, John J.; Shinde, Sunita; Wipf, Peter; Lazo, John S.

CORPORATE SOURCE: Pittsburgh Molecular Libraries Screening Center,
Department of Pharmacology, University of Pittsburgh

Drug Discovery Institute, University of Pittsburgh School of Medicine, Pittsburgh, PA, USA

Assay and Drug Development Technologies (2007), 5(3),

319-332

CODEN: ADDTAR: ISSN: 1540-658X

PUBLISHER: Mary Ann Liebert, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We report here the miniaturization, development, and implementation of a homogeneous 384-well fluorescence intensity high-throughput screening (HTS) assay for identifying mitogen-activated protein kinase (MAPK) phosphatase-1 (MKP-1) dual-specificity phosphatase inhibitors. As part of the National Institutes of Health (NIH) Moll. Libraries Screening Center

Network (MLSCN), the MKP-1 assay was utilized to screen an NIH diversity library of 65239 compds. for inhibitors of MKP-1 activity at 10 µM and was also used to confirm the concentration dependence of active agents identified

in the primary screen. We observed 100 (0.15%) compds. that inhibited MKP-1 in vitro by ≥50% at 10 µM in the primary assay, and 46 of the 100 compds. were confirmed as concentration-dependent inhibitors of MKP-1 with 50% inhibitory concentration (IC50) values of <50 µM; four exhibited IC50 values <1.0 μM, six produced IC50 values in the 1-10 μM range, and 36 produced IC50 values in the 10-50 uM range. A clustering and classification anal. of the compound structures of the 46 confirmed MKP-1 inhibitors produced 29 singleton structures and seven clusters of related structures. Some MKP-1 inhibitors were members of structural classes or contained substructure pharmacophores that previously were reported to inhibit either MKP-1 or other protein tyrosine phosphatases, validating the HTS assay. Importantly, we have identified several attractive and novel MKP-1 inhibitor structures that warrant further investigation as potential probes to study the biol. of MKP-1 and its role in controlling the amplitude and/or duration of MAPK signaling, cell survival, and tumor progression.

IT 353484-61-4 474090-84-1 935279-96-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

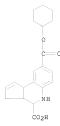
(development and implementation of a 384-well homogeneous fluorescence intensity high-throughput screening assay to identify mitogen-activated protein kinase phosphatase-1 dual-specificity protein phosphatase inhibitors)

RN 353484-61-4 CAPLUS

CN 3H-Cyclopenta(c)quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
8-ethyl ester (CA INDEX NAME)

RN 474090-84-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester (CA INDEX NAME)



935279-96-2 CAPLUS RN

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro-6, 7-dimethyl- (CA INDEX NAME)

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (12 CITINGS)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:672966 CAPLUS

DOCUMENT NUMBER: 147:87695

TITLE: Useful indole compounds INVENTOR(S):

Bartolini, Wilmin; Cali, Brian M.; Chen, Barbara; Chien, Yueh-Tyng; Currie, Mark G.; Milne, G. Todd; Pearson, James Philip; Talley, John Jeffrey; Yang, Jing Jing; Zimmerman, Craig; Kim, Charles; Sprott, Kevin; Barden, Timothy; Lundigran, Regina; Mermerian,

Microbia, Inc., USA; Ironwood Pharmaceuticals, Inc.

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 670 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070892	A2	20070621	WO 2006-US62265	20061218

WO 2007070892 A3 20081016 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 1998766 20081210 EP 2006-848587 A2 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS US 20090264653 A1 20091022 US 2009-97616 20090303 PRIORITY APPLN. INFO.: US 2005-751443P P 20051216 WO 2006-US62265 20061218 Ta7 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 147:87695 Indoles that have activity as inhibitors of FAAH (fatty acid amide hydrolase) are described as are indoles and indole derivs, that have activity as inhibitors of DAO (D-amino acid oxidase). 474376-37-9 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (useful indole compds. that are inhibitors of fatty acid amide hydrolase and D-amino acid oxidase for treating diseases)

OH NH CO<sub>2</sub>H

OS.CITING REF COUNT:

474376-37-9 CAPLUS

RN

(2 CITINGS)

L3 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2007:652015 CAPLUS

2

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 9-bromo-3a, 4, 5, 9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

DOCUMENT NUMBER: 147:268237

TITLE: In-silico drug screening method based on the protein-compound affinity matrix using the factor

selection technique Murali, Sukumaran; Hojo, Shinichi; Tsujishita, Hideki; AUTHOR(S):

Nakamura, Haruki; Fukunishi, Yoshifumi

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

CORPORATE SOURCE: Japan Biological Information Research Center (JBIRC), Japan Biological Informatics Consortium (JBIC),

2-41-6, Aomi, Koto-ku, Tokyo, 135-0064, Japan

SOURCE: European Journal of Medicinal Chemistry (2007), 42(7), 966-976

CODEN: EJMCA5; ISSN: 0223-5234

Elsevier Masson SAS

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors have developed a new in-silico drug screening method, a modified version of a docking score index (DSI) method, based on a protein-compound docking affinity matrix. By using this method, the docking scores are converted to the docking score indexes by the principal component anal. (PCA) method and each compound is projected into a PCA space. In this study, the authors propose a method to select a set of suitable principal component axes and evaluate the database enrichment for 12 target proteins. This method selects the new active compds. or hits, which are close to the known active compds., thereby enhancing the database enrichment.

IT 353484-26-1

PUBLISHER:

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(macrophage migration inhibitory factor modulator; in-silico drug screening method based on protein-compound affinity matrix using factor selection technique)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:528027 CAPLUS

DOCUMENT NUMBER: 147:157459

TITLE: Role of homoserine transacetylase as a new target for antifungal agents

AUTHOR(S): Nazi, Ishac; Scott, Adam; Sham, Anita; Rossi, Laura;

Williamson, Peter R.; Kronstad, James W.; Wright, Gerard D.

erard D.

CORPORATE SOURCE: Antimicrobial Research Centre, Department of Biochemistry and Biomedical Sciences, McMaster

University, ON, L8N 3Z5, Can. Antimicrobial Agents and Chemotherapy (2007), 51(5),

1731-1736 CODEN: AMACCO; ISSN: 0066-4804

CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Microbial amino acid biosynthesis is a proven yet under-exploited target of antibiotics. The biosynthesis of methionine in particular has been shown to be susceptible to small-mol. inhibition in fungi. The first committed step in Met biosynthesis is the acylation of homoserine (Hse) by the enzyme homoserine transacetylase (HTA). We have identified the MET2

gene of Cryptococcus neoformans H99 that encodes HTA (CnHTA) by complementation of an Escherichia coli metA mutant that lacks the gene encoding homoserine transsuccinylase (HTS). We cloned, expressed, and purified CnHTA and determined its steady-state kinetic parameters for the acetylation of L-Hse by acetyl CoA. We next constructed a MET2 mutant in C. neoformans H99 and tested its growth behavior in Met-deficient media, confirming the expected Met auxotrophy. Furthermore, we used this mutant in a mouse inhalation model of infection and determined that MET2 is required for virulence. This makes fungal HTA a viable target for new antibiotic discovery. We screened a 1000-compound library of small mols. for HTA inhibitors and report the identification of the first inhibitor of fungal HTA. This work validates HTA as an attractive drug-susceptible target for new antifungal agent design.

316187-19-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(role of homoserine transacetylase as target for antifungal agents) RM 316187-19-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

6-(aminocarbonyl)-3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1149518 CAPLUS

DOCUMENT NUMBER: 146:96108

TITLE: An Efficient in Silico Screening Method Based on the Protein-Compound Affinity Matrix and Its Application

> to the Design of a Focused Library for Cytochrome P450 (CYP) Ligands

AUTHOR(S): Fukunishi, Yoshifumi; Hojo, Shinichi; Nakamura, Haruki CORPORATE SOURCE: Biological Information Research Center (BIRC),

National Institute of Advanced Industrial Science and Technology (AIST), 2-41-6 Aomi, Koto-ku, Tokyo,

135-0064, Japan

Journal of Chemical Information and Modeling (2006), SOURCE: 46(6), 2610-2622

CODEN: JCISD8; ISSN: 1549-9596

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

A new method has been developed to design a focused library based on available active compds. using protein-compound docking simulations. This method was applied to the design of a focused library for cytochrome P 450 (CYP) ligands, not only to distinguish CYP ligands from other compds. but also to identify the putative ligands for a particular CYP. Principal component anal. (PCA) was applied to the protein-compound affinity matrix, which was obtained by thorough docking calcns, between a large set of

protein pockets and chemical compds. Each compound was depicted as a point in the PCA space. Compds. that were close to the known active compds. were selected as candidate hit compds. A machine-learning technique optimized the docking scores of the protein-compound affinity matrix to maximize the database enrichment of the known active compds., providing an optimized focused library.

353484-26-1

RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study) (efficient in silico screening method based on protein-compound affinity

matrix and its application to design of focused library for cytochrome P 450 ligands)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)



SOURCE:

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 30 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:971074 CAPLUS

DOCUMENT NUMBER: 146:454203

TITLE: Selective inhibitors of bacterial DNA adenine

methyltransferases

AUTHOR(S): Mashhoon, Neda; Pruss, Cynthia; Carroll, Michael;

Johnson, Paul H.; Reich, Norbert O.

CORPORATE SOURCE: Pacific Technology Center, EpiGenX Pharmaceuticals, Santa Barbara, CA, USA

Journal of Biomolecular Screening (2006), 11(5), 497-510

CODEN: JBISF3; ISSN: 1087-0571

PUBLISHER: Sage Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors describe the discovery and characterization of several structural classes of small-mol. inhibitors of bacterial DNA adenine methyltransferases. These enzymes are essential for bacterial virulence (DNA adenine methyltransferase [DAM]) and cell viability (cell cycle-regulated methyltransferase [CcrM]). Using a novel high-throughput fluorescence-based assay and recombinant DAM and CcrM, the authors screened a diverse chemical library. They identified 5 major structural classes of inhibitors composed of more than 350 compds.: cyclopentaquinolines, Ph vinyl furans, pyrimidine-diones, thiazolidine-4-ones, and phenyl-pyrroles. DNA binding assays were used to identify compds. that interact directly with DNA. Potent compds. selective for the bacterial target were identified, whereas other compds. showed greater selectivity for the mammalian DNA cytosine

methyltransferase, Dnmtl. Enzyme inhibition anal. identified cofficient mechanistically distinct compds, that interfered without cofficient binding. Selected compds, demonstrated cell-based efficacy. These small-mol. DNA methyltransferase inhibitors provide useful reagents to probe the role of DNA methylation and may form the basis of developing novel antibiotics.

	HOVEL AHLTDIO	LICO.	
ΙT	247225-88-3	247225-90-7	312713-97-6
	316187-19-6	353484-21-6	353484-26-1
	353484-33-0	353484-37-4	353484-43-2
	354815-83-1	354815-91-1	354816-31-2
	359418-29-4	473267-49-1	474263-68-8
	935279-96-2		

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (USES) (selective inhibitors of bacterial DNA adenine methyltransferases)

RN 247225-88-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)

RN 247225-90-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro-6, 8-dimethyl- (CA INDEX NAME)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 316187-19-6 CAPLUS

CN

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-33-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-iodo-6-methyl- (CA INDEX NAME)

RN 353484-37-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo-(CA INDEX NAME)

RN 353484-43-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 354815-83-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,9-dimethyl- (CA INDEX NAME)

RN 354815-91-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)

RN 354816-31-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-ethoxy-3a,4,5,9b-tetrahydro-6-nitro- (CA INDEX NAME)

RN 359418-29-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-nitro-(CA INDEX NAME)

RN 473267-49-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo-8-methyl- (CA INDEX NAME)

474263-68-8 CAPLUS RN

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-(2-methylpropyl) ester (CA INDEX NAME)

935279-96-2 CAPLUS RN

CN 3H-Cyclopenta(c)quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro-6, 7-dimethyl- (CA INDEX NAME)

SOURCE:

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS

RECORD (14 CITINGS) REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 31 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:903896 CAPLUS

DOCUMENT NUMBER: 146:288339

TITLE: A Virtual Active Compound Produced from the Negative Image of a Ligand-binding Pocket, and its Application

to in-silico Drug Screening

Fukunishi, Yoshifumi; Kubota, Satoru; Kanai, Chisato; AUTHOR(S): Nakamura, Haruki

CORPORATE SOURCE:

Biological Information Research Center (BIRC), National Institute of Advanced Industrial Science and

Technology (AIST), Koto-ku, Tokyo, 135-0064, Japan Journal of Computer-Aided Molecular Design (2006),

20(4), 237-248

CODEN: JCADEQ; ISSN: 0920-654X

PUBLISHER: Springer DOCUMENT TYPE: Journal LANGUAGE: English

The authors developed a new structure-based in-silico screening method using a neg. image of a ligand-binding pocket and a multi-protein-compound interaction matrix. Based on the structure of the ligand pocket of the target protein, the authors designed a neg. image, which consists of

virtual atoms whose radii are close to those of carbon atoms. The virtual atoms fit the pocket ideally and achieve an optimal Coulomb interaction. A protein-compound docking program calcs. the protein-compound interaction matrix for many proteins and many compds. including the neg. image, which can be treated as a virtual compound. With specific attention to a vector of docking scores for a single compound with many proteins, the authors selected a compound whose score vector was similar to that of the neq. image as a candidate hit compound. This method was applied to representative target proteins and showed high database enrichment with a relatively quick procedure.

353484-26-1

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(virtual active compound produced from the neg. image of a ligand-binding pocket, and its application to in-silico drug screening) 353484-26-1 CAPLUS

CM 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)



RN

OS.CITING REF COUNT: THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD 7

(7 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 32 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:739283 CAPLUS

DOCUMENT NUMBER: 145:347789

Noise Reduction Method for Molecular Interaction TITLE:

Energy: Application to in Silico Drug Screening and in

Silico Target Protein Screening

AUTHOR(S): Fukunishi, Yoshifumi; Kubota, Satoru; Nakamura, Haruki CORPORATE SOURCE: Biological Information Research Center (BIRC) National

Institute of Advanced Industrial Science and

Technology (AIST) and Japan Biological Information

Research Center (JBIRC), Japan Biological Informatics

Consortium (JBIC), Tokyo, 135-0064, Japan

Journal of Chemical Information and Modeling (2006), SOURCE:

46(5), 2071-2084

CODEN: JCISD8; ISSN: 1549-9596

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

The authors developed a new method to improve the accuracy of mol. interaction data using a mol. interaction matrix. This method was applied to enhance the database enrichment of in silico drug screening and in silico target protein screening using a protein-compound affinity matrix calculated by a protein-compound docking software. Our assumption was that the protein-compound binding free energy of a compound could be improved by a linear combination of its docking scores with many different proteins.

The authors proposed two approaches to determine the coeffs. of the linear combination. The first approach is based on similarity among the proteins, and the second is a machine-learning approach based on the known active compds. These methods were applied to in silico screening of the active compds, of several target proteins and in silico target protein screening.

353484-26-1

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(noise reduction method for mol. interaction energy and application to in silico drug screening and in silico target protein screening)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a, 4, 5, 9b-tetrahydro-(CA INDEX NAME)



SOURCE:

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 33 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:334327 CAPLUS

DOCUMENT NUMBER: 145:42075

TITLE: Crystal structures and inhibitor identification for

PTPN5, PTPRR and PTPN7: a family of human

MAPK-specific protein tyrosine phosphatases AUTHOR(S):

Eswaran, Jevanthy; von Kries, Jens Peter; Marsden, Brian; Longman, Emma; Debreczeni, Judit E.; Ugochukwu,

Emilie; Turnbull, Andrew; Lee, Wen Hwa; Knapp, Stefan; Barr, Alastair J.

Structural Genomics Consortium, Botnar Research CORPORATE SOURCE: Centre, University of Oxford, Oxford, OX3 7LD, UK

Biochemical Journal (2006), 395(3), 483-491

CODEN: BIJOAK; ISSN: 0264-6021

PUBLISHER: Portland Press Ltd.

Journal DOCUMENT TYPE:

LANGUAGE: English

Protein tyrosine phosphatases PTPN5, PTPRR and PTPN7 comprise a family of AB phosphatases that specifically inactivate MAPKs (mitogen-activated protein kinases). We have determined high-resolution structures of all of the human family members, screened them against a library of 24000 compds. and identified two classes of inhibitors, cyclopenta[c]quinolinecarboxylic acids and 2,5-dimethylpyrrolyl benzoic acids. Comparative structural anal. revealed significant differences within this conserved family that could be explored for the design of selective inhibitors. PTPN5 crystallized, in two distinct crystal forms, with a sulfate ion in close proximity to the active site and the WPD (Trp-Pro-Asp) loop in a unique conformation, not seen in other PTPs, ending in a 310-helix. In the PTPN7 structure, the WPD loop was in the closed conformation and part of the KIM

(kinase-interaction motif) was visible, which forms an N-terminal aliphatic heliz with the phosphorylation site Thr66 in an accessible position. The WPD loop of PTPRR was open; however, in contrast with the structure of its mouse homolog, PTPSL, a salt bridge between the conserved lysine and aspartate residues, which has been postulated to confer a more rigid loop structure, thereby modulating activity in PTPSL, does not form in PTPRR. One of the identified inhibitor scaffolds, cyclopenta[c]quinoline, was docked successfully into PTPRR, suggesting several possibilities for hit expansion. The determined structures together with the established SAR (structure-activity relationship) propose new avenues for the development of selective inhibitors that may have therapeutic potential for treating neurodegenerative diseases in the case of PTPRR or acute myeloblastic leukemia targeting PTPNT.

IT 312713-97-6 312714-12-8 353484-21-6

353484-26-1 354815-90-0 496854-79-6

890052-36-5

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(human KIM domain-containing PTPN5, PTPRR and PTPN7 neg. regulate MAPK signaling)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 312714-12-8 CAPLUS CN 3H-Cyclopenta[c]gui

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-acetyl-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

- RN 353484-26-1 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)

- RN 354815-90-0 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)

- RN 496854-79-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)

- RN 890052-36-5 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS

RECORD (15 CITINGS)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:83184 CAPLUS

DOCUMENT NUMBER: 144:225595

TITLE: Classification of Chemical Compounds by
Protein-Compound Docking for Use in Designing a

Protein-Compound Docking for Use in Designing a

Focused Library

AUTHOR(S): Fukunishi, Yoshifumi; Mikami, Yoshiaki; Takedomi, Kei; Yamanouchi, Masaya; Shima, Hideaki; Nakamura, Haruki

CORPORATE SOURCE: Biological Information Research Center (BIRC),
National Institute of Advanced Industrial Science and

Technology (AIST), Tokyo, 135-0064, Japan

SOURCE: Journal of Medicinal Chemistry (2006), 49(2), 523-533

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB Me developed a new method for the classification of chemical compds, and protein pockets and applied it to a random screening experiment for macrophage migration inhibitory factor (MIF). The principal component anal. (PCA) method was applied to the protein-compound interaction matrix, which was given by thorough docking calcus, between a set of many protein pockets and chemical compds. Each compound and protein pocket was depicted as a point in the PCA spaces of compds, and proteins, resp. This method was applied to distinguish active compds. from neg. compds of MIF. A random screening experiment for MIF was performed, and our method revealed that the active compds. were localized in the PCA space of compds., which bind similar compds., were classified and were found to form a cluster in the PCA space.

IT 353484-26-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(classification of chemical compds. by protein-compound docking for use in designing a focused library)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-(CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS

RECORD (20 CITINGS)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:259866 CAPLUS

DOCUMENT NUMBER: 142:309862

TITLE: Antibiotic cycloalkyltetrahydroquinoline derivatives
INVENTOR(S): Labaudiniere, Richard F.; Xiang, Yibin; Jalluri, Ravi
K.; Arvanites, Anthony C.

PATENT ASSIGNEE(S): Oscient Pharmaceuticals, USA

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
Patent

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

		APPLICATION NO.								
WO 2005025556 WO 2005025556	A2 20050324	WO 2004-US25937								
CN, CO, CR, GE, GH, GM,	CU, CZ, DE, DK, HR, HU, ID, IL,	BA, BB, BG, BR, BW, BY, DM, DZ, EC, EE, EG, ES, IN, IS, JP, KE, KG, KP,	FI, GB, GD, KR, KZ, LC,							
NO, NZ, OM, TJ, TM, TN,	PG, PH, PL, PT, TR, TT, TZ, UA,	MD, MG, MK, MN, MW, MX, RO, RU, SC, SD, SE, SG, UG, US, UZ, VC, VN, YU, NA, SD, SL, SZ, TZ, UG,	SK, SL, SY, ZA, ZM, ZW							
AZ, BY, KG, EE, ES, FI,	KZ, MD, RU, TJ, FR, GB, GR, HU,	TM, AT, BE, BG, CH, CY, IE, IT, LU, MC, NL, PL, CI, CM, GA, GN, GQ, GW,	CZ, DE, DK, PT, RO, SE,							
		AU 2004-271932 CA 2004-2534957								
R: AT, BE, BG,	CH, CY, CZ, DE,	EP 2004-816173 DK, EE, ES, FI, FR, GB, RO, SE, SI, SK, TR								
JP 2007513055 IN 2006DN00684	T 20070524 A 20070817	JP 2006-523309 IN 2006-DN684 US 2006-568252	20060210							
PRIORITY APPLN. INFO.: US 2003-494669P P 20030813 WO 2004-US25937 W 20040811 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT										
OTHER SOURCE(S): MARPAT 142:309862										

AB A method of treating a subject for a bacterial infection includes administering to a subject in need of treatment for a bacterial infection an effective amount of a cycloalkyltetrahydroguinoline compound, or a

pharmaceutically acceptable salt, solvate, or hydrate thereof. The infection is caused by a bacterium that expresses

phosphoenolpyruvate-UDP-N-acetyl-D-glucosamine l-carboxyvinyltransferase (MurA, E.C. 2.1.5.7). Various cycloalkyltetrahydroquinoline compds. were prepared and tested in vitro for inhibition of MurA.

IT 247225-89-4P 312714-12-8P 316187-19-6P

342405-93-0P 347362-65-6P 353484-21-6P 354815-91-1P 354816-24-3P 497915-03-4P 848085-68-7P 848085-69-8P 848085-71-1P 848085-71-2P 848085-72-3P 848085-74-5P 848085-79-0P 848085-79-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cycloalkyltetrahydroquinoline antibiotics as MurA inhibitors for treatment of bacterial infections)

RN 247225-89-4 CAPLUS CN 3H-Cyclopentalclgui

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methoxy- (CA INDEX NAME)

- RN 312714-12-8 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-acetyl-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 316187-19-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 342405-93-0 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)

- RN 347362-65-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



- RN 353484-21-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 354815-91-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)

RN 354816-24-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 497915-03-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-[4-(acetylamino)phenyl] ester (CA INDEX NAME)

RN 848085-68-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-7-(3-pyridinyl)- (CA INDEX NAME)

- RN 848085-69-8 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



- RN 848085-70-1 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 9-chloro-8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

- RN 848085-71-2 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,9-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

- RN 848085-72-3 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(phenylamino)- (CA INDEX NAME)

RN 848085-74-5 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 848085-75-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(methylamino)- (CA INDEX NAME)

# NHMe NH CO<sub>2</sub>H

RN 848085-76-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,7-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 848085-79-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

IT 354815-90-0 497141-19-2 848085-81-4 848085-87-0 848085-93-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cycloalkyltetrahydroquinoline antibiotics as MurA inhibitors for treatment of bacterial infections)

RN 354815-90-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 497141-19-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 848085-81-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(1-piperidinyl)- (CA INDEX NAME)

RN 848085-87-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(dimethylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 848085-93-8 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(1-methylethyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMA

L3 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:835560 CAPLUS

DOCUMENT NUMBER: 142:34366

TITLE: Discovery and characterization of novel small molecule

inhibitors of human Cdc25B dual specificity phosphatase

phosphatase

AUTHOR(S): Brisson, Marni; Nguyen, Theresa; Vogt, Andreas; Yalowich, Jack; Giorgianni, Angela; Tobi, Dror; Bahar,

Ivet; Stephenson, Corey R. J.; Wipf, Peter; Lazo, John

CORPORATE SOURCE: Department of Pharmacology and the Fiske Drug

Discovery Laboratory, University of Pittsburgh,

Pittsburgh, PA, USA

SOURCE: Molecular Pharmacology (2004), 66(4), 824-833

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics Journal English

LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:34366

AB Cdc25A and Cdc25B dual-specificity phosphatases are key regulators of cell cycle transition and proliferation. They have oncogenic properties and

cycle transition and proliferation. They have oncogenic properties and are over-expressed in many human tumors. Because selective Cdc25 phosphatase inhibitors would be valuable biol. tools and possible therapeutic agents, we have assayed a small mol. library for in vitro inhibition of Cdc25. We now report the identification of two new

structurally distinct classes of Cdc25 inhibitors with cellular activity. The cyclopenta(c)finding 3a, 4,5,9b-tetrahydro-3H-cyclopenta(c)quinoline-4,8-dicarboxylic acid (566118) and the paphthofurandione

dicarboxylic acid (5661118) and the naphthofurandione 3-benzoyl-naphtho[1,2-b]furan-4,5-dione (5169131) had in vitro IC50 values

of 2.5 to 11 µM against recombinant Cdc25 and were less potent inhibitors of other phosphatases. Unlike 5661118, 5169131 caused

reversible inhibition of Cdc25B and displayed competitive inhibitor kinetics. No growth inhibitory activity was seen with 5661118, whereas 10

to 30 µM 5169131 caused G1/S and G2/M arrest. We also found that 5169131 inhibited human PC-3 prostate and MDA-MB-435 breast cancer cell

proliferation. Concentration-dependent Tyr15 hyperphosphorylation was seen on cyclin-dependent kinase with a 1-h 5169131 treatment, consistent with Cdc25 inhibition. Cells resistant to DNA topoisomerase II inhibitors were as sensitive to 5169131 as parental cells, indicating that this quinone compound does not inhibit topoisomerase II in vivo. Mol. modeling was used to predict a potential interaction site between the inhibitor and Cdc25B

and to provide insights as to the mol. origins of the exptl. observations. Based on its kinetic profile and cellular activity, we suggest that 5169131 could be an excellent tool for further studies on the cellular roles of Cdc25.

T 353484-21-6

DOCUMENT TYPE:

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(discovery and characterization of novel small mol. inhibitors of human Cdc25B dual specificity phosphatase)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

IT 312713-97-6 353484-48-7

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (discovery and characterization of novel small mol. inhibitors of human

Cdc25B dual specificity phosphatase) RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-48-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS

RECORD (41 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2004:696357 CAPLUS

DOCUMENT NUMBER: 141:243351

TITLE: Preparation of tetrahydroquinolines as nuclear receptors modulators

INVENTOR(S): Koutnikova, Hana; Sierra, Michael; Braun-Egles, Anne;
Marsol, Claire; Klotz, Evelyne; Lehmann, Juergen

PATENT ASSIGNEE(S): SOURCE:

Carex S.A., Fr. PCT Int. Appl., 166 pp. CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. WO 2004-EP1280 WO 2004072046 A2 20040826 20040211 WO 2004072046 A3 20041021 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO .: EP 2003-360025 A 20030212 EP 2003-360029 A 20030212 US 2003-456955P P 20030325 A 20030704 EP 2003-360083

OTHER SOURCE(S):

AB

MARPAT 141:243351

Title compds. represented by the formula I [wherein R1 = H, C1, F, (cyclo)alkyl, alkylcycloalkyl, CF3, etc.; R2, R14 = independently CH2, (CH2)A1(CH2) or (CH2)A1(CH2)A2(CH2); a, b, c = independently 0-4; A1, A2 = independently CO, O, SO2, etc.; R3-R4, R8-R11 = independently H, amino, alkyl, halo, etc.; R12 = H, Cl, CF3, (cyclyl)alkyl, etc.; R13 = H, hydroxy, alkyl, carboxylic acid, etc.; R5-R7 = independently (R14)-R12; n = 0-6; A3-A5 = independently C, N, O, S; and analogs, derivs., solvates or salts thereof] were prepared as liver-receptors (LXR) modulators. For example, reaction of 4-trifluoromethoxyphenylamine with 2,4-dichlorobenzaldehyde and cyclopentadiene gave II in 70% yield. II was tested for dose response induction of ABCA1, FAS, SREBP1c and Angtp13 gene expression, HDL cholesterol plasma and liver triglyceride levels change. In addition, I were tested for binding activity with human LXRa and LXRB (Ki = 1000-3000 nM), activation of gene implicated in cholesterol efflux, etc. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of hyperlipidemia, obesity, type II diabetes, atherosclerosis, ischemic heart disease, peripheral vascular disease, cerebral wascular disease, hypercholesterolemia, hypertriglyceridemia, pancreatitis or coronary artery disease.

T 342405-93-0P, CRX 000762 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(preparation of tetrahydroquinolines as nuclear receptor modulators)
RN 342405-93-0 CAPLUS
CN 3H-Cyclopentalcluminoline-4-carboxylic acid.

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:1006781 CAPLUS

DOCUMENT NUMBER: 140:23241

TITLE: Anti-inflammatory compositions and methods of use

INVENTOR(S): McMaster, Brian
PATENT ASSIGNEE(S): Chemocentryx, USA

SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	ENT :	.00			KIN	D	DATE		1	APPL	ICAT:	ION I	NO.		D	ATE	
						-											
WO	2003	1058	57		A1		2003	1224	1	WO 2	003-1	US16	558		2	0030	527
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
US	2003	0236	249		A1		2003	1225	1	US 2	002-	1710	97		2	0020	612

US	6727	241			B2	20	040427									
CA	2487	331			A1	20	031224	CZ	1 2	003-	2487	331		2	0030	527
CA	2487	331			С	20	080812									
AU	2003	2346	42		A1	20	031231	ΑU	J 2	003-	2346	42		2	0030	527
AU	2003	2346	42		B2	20	090604									
EP	1534	293			A1	20	050601	EF	2	003~	7291	43		2	0030	527
	R:	AT,	BE,	CH,	DE,	DK, E	S. FR.	GB, C	GR.	IT.	LI.	LU,	NL,	SE,	MC.	PT,
		IE.	SI,	LT,	LV,	FI, R	O, MK,	CY, I	λL,	TR,	BG,	CZ,	EE,	HU,	SK	
CN	1658	881			A	20	050824	Ch	1 2	003-	8134	13		2	0030	527
CN	1005	0623	1		С	20	090701									
JP	2005	5380	60		т	20	051215	JE	2	004-	5127	60		2	0030	527
KR	9157	43			В1	20	090904	KE	2	004-	7200	54		2	0030	527
US	2007	0072	875		A1	20	070329	US	3 2	003-	5360	71		2	0030	530
MX	2004	0123	89		A	20	050622	M	ζ 2	004-	1238	9		2	0041	209
HK	1081	864			A1	20	100319	HE	< 2	006-	1022	29		2	0060	220
PRIORIT	Y APP	LN.	INFO	. :				US	3 2	002-	1710	97		A 2	0020	612
								WO	2	003-	US16	558		W 2	0030	527

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:23241

AB The present invention is directed to pharmaceutical compns. containing active compds., which inhibit the activity of the chemokines, MIP-1α and RANTES. It also is directed to methods of treating inflammatory and immunoregulatory disorders and diseases using these pharmaceutical compns. Calcium signaling inhibition by and affinity values for CCR1-MIP-1α binding for a few compds. are provided.

IT 353484-21-6, CCX 1959

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-inflammatory compds. which inhibit activity of MIP-1 $\alpha$  and RANTES)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:719265 CAPLUS

DOCUMENT NUMBER: 139:240337

TITLE: Pin1 peptidyl prolyl isomerase-modulating compounds and methods of use in the treatment of cancer and

other Pinl-associated conditions INVENTOR(S): Mckee, Timothy D.; Suto, Robert K.

PATENT ASSIGNEE(S): Pintex Pharmaceuticals, Inc., USA SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ----WO 2003073999 A2 20030912 WO 2003-US6399 A3 20031231 20030303 WO 2003073999 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003217870 A1 20030916 AU 2003-217870 20030303 US 20040180889 US 2003-379404 A1 20040916 20030303 PRIORITY APPLN. INFO .: US 2002-361231P P 20020301 W 20030303 WO 2003-US6399

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 139:240337 GI

AB The invention discloses modulators, e.g., inhibitors of Pinl and Pinl-related proteins, and the use of such modulators for treatment of Pinl-associated states, e.g., for the treatment of cancer. Compds. of the invention include I [dashed lines = single or double bonds; G1 = CH, N; G2, G3 = H, N, CH2, CH, NH; R1, R2, R3, R3', R4, R4', X1-X5 = H, acyl, (un)substituted alkyl, etc.]. Determination of Pinl overexpression in a variety

of tumor types is also presented.

T 353484-21-6 353484-21-6D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(Pinl peptidyl prolyl isomerase-modulating compds. for treatment of cancer and other Pinl-associated conditions)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:591149 CAPLUS DOCUMENT NUMBER: 139:133474

TITLE:

Method for the production of

1,2,3,4-tetrahydroquinoline-2-carboxylic acids INVENTOR(S):

Przewosny, Michael Thomas PATENT ASSIGNEE(S): Gruenenthal Gmbh, Germany

PCT Int. Appl., 16 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
	2003		02		A2		2003			WO 2	003-	EP82			2	0030	108	
MO	2003				A3		2004											
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	
		PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
		UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG.	KZ	MD.	RII.	T.T.	TM.	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.	EE.	ES.	

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG DE 10202864 Α1 20030731 DE 2002-10202864 20020124 AU 2003202547 20030902 AU 2003-202547 A1 20030108 A2 EP 1470110 20041027 EP 2003-701493 20030108 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 20050004366 A1 20050106 US 2004-896728 20040722 US 7145011 B2 20061205 PRIORITY APPLN. INFO.: DE 2002-10202864 A 20020124 WO 2003-EP82 W 20030108 OTHER SOURCE(S): CASREACT 139:133474; MARPAT 139:133474

GI CASREACT 139:133474; MARPAT 139:133474

AB  $\,$  Title compds. [I; R1, R2 = H, halo, CF3, (branched) (saturated) aliphatic residue

were

prepared by reacting II (R1, R2 as above), glyoxylic acid or glyoxylic acid hydrate, and an olefins (Z/E) R3CH:CHR4 (III; R3, R4 as above) in a solvent under microwave irradiation; whereby III and glyoxylic acid or glyoxylic acid hydrate are in excess. Thus, 3,5-dichloroaniline, glyoxylic acid hydrate, and cyclopentadiene in MeCN was heated to 50° by microwave irradiation of 800 W within 0.5 min followed by further microwave irradiation at 50° for 5 min to give 98% 7,9-dichloro-3a,45,9b-tetrahydro-3H-cyclopentalc|quinoline-4-carboxylic acid. Derivs. of the latter are NMDA antagonists binding NMDA ion channel at Glycine B binding site (no data).

IT 354809-23-7P

RL: INF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRBP (Preparation); USES (Uses)

(method for production of tetrahydroquinolinecarboxylic acids)

RN 354809-23-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:133043 CAPLUS

DOCUMENT NUMBER: 138:170085 TITLE: Preparation of

1,2,3,4-tetrahydroisoguinoline-2-carboxylic acids as

NMDA antagonist for the treatment of pain

INVENTOR(S): Maul, Corinna; Przewosny, Michael; Englberger, Werner

Guenter

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 92 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D.	ATE	
	2003									WO	2002-	EP87	29		2	0020	805
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
											, ES,						
											, KP,						
											, MX,						
										SL	, TJ,	TM,	TN,	TR,	TT,	TZ,	UA,
							ZA,										
	RW:										, TZ,						
											, CH,						
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	к.										, TR,					110,	E 1,
BR	2002										2002-					0020	805
CN	1561	215	-		A		2005	0105		CN	2002-	8194	13		2	0020	805
JP	2005	5018	39		Т		2005	0120		JP	2002- 2003-	5185	39		2	0020	805
NO	2004	0004	23		Ā		2004	0308		NO	2004-	423			2	0040	130
MX	2004	0009	52		A		2004	0420		MX	2004-	952			2	0040	130
	2004										2004-					0040	203
ZA	2004	0017	24		A		2005	0201		ZA	2004-	1724			2	0040	302
IORIT:	Y APP	LN.	INFO	.:						DE	2001-	1013	7488		A 2	0010	803
										WO	2002-	EP87	29		W 2	0020	805
HER SO	DURCE	(S):			MAR	PAT	138:	1700	35								

GI

- AB Title compds. I [Rl and R2 together = (CH2)n, CH:CHCH2, CH2CH:CH, etc.; n = 3-10; R3 = H, alkyl, alkenyl, etc.; R4 = R4a, ZR4a; Z = (un)substituted alkyl, alkenyl, alkynyl; R4a = H, alkyl, alkenyl, etc.; R5, R6, R7, R8 = H, halo, CN, etc.] and their pharmaceutically acceptable salts were prepared For example, trifluoroacetic acid catalyzed three-component coupling of 1,3-cyclopentadiene, 4-chlorobenzenamine and oxoacetic acid Et ester, followed by ester hydrolysis provided claimed isoquinoline II (no data provided). In glycine binding site studies of the NNDA receptor channel, one specific example of compound I, isoquinoline II exhibited a Ki = 0.3 µN. Compds. I are claimed useful as analgesic agents for the treatment of pain.
- IT 353484-48-7P 354809-23-7P 354810-19-8P, 1,3-Dichloro-5,6a,7,11b-tetrahydro-6H-indeno[2,1-c]chinolin-6-carboxylic acid 497843-32-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
  - (Uses)
    (drug candidate; preparation of tetrahydroisoquinolinecarboxylic acids as NMDA antagonist for the treatment of pain)
- RN 353484-48-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)

- RN 354809-23-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

CN

RN 354810-19-8 CAPLUS

5H-Indeno[2,1-c]quinoline-6-carboxylic acid, 1,3-dichloro-6,6a,7,11b-tetrahydro- (CA INDEX NAME)

RN 497843-32-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro-, sodium salt (1:1) (CA INDEX NAME)

Na

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2001:597963 CAPLUS

DOCUMENT NUMBER: 135:180709

Substituted 1,2,3,4-tetrahydroquinoline-2-carboxylic TITLE:

acid derivatives INVENTOR(S): Gerlach, Matthias; Przewosny, Michael; Englberger, Werner; Reissmueller, Elke; Bloms-Funke, Petra; Maul,

Corinna; Jagusch, Utz-Peter PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

PCT Int. Appl., 152 pp. CODEN: PIXXD2

SOURCE:

DOCUMENT TYPE: Patient. LANGUAGE: German FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA	TENT I	NO.			KIN	D	DATE			APP:	LICAT	ION	NO.		D	ATE	
WO	2001	0588	75		A2		2001	0816		WO :	2001-	EP58	8		2	0010	119
	W:	CR, ID, LV,	CU, IL, MA, SG,	CZ, IN, MD,	DK, IS, MG,	JP,	DZ, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI KR MZ	, BG, , GB, , KZ, , NO, , TZ,	GD, LC, NZ,	GE, LK, PL,	GH, LR, PT,	GM, LS, RO,	HR, LT, RU,	HU, LU, SD,
	RW:	DE, BJ,	DK, CF.	ES,	FI,	FR,	GB,	GR, GN,	IE,	IT ML	, LU,	MC, NE,	NL, SN,	PT,	SE,	TR,	BF,
DE	1000	5302			A1		2002	0117		DE :	2000-	1000	5302		2	0000	207
CA	1000 2416	343			A1		2001	0816		CA :	2001-	2416	343		2	0010	119
EP	1254	118			A2		2002	1106		EP :	2001-	9011	76		2	0010	119
EP	1254	118			В1		2005	1109									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	TR						
JP	2003: 2003: 2003: 5210: 2001: 3092: 2250: 2002: 2003: 6699:	5227.	58		T		2003	0729		JP :	2001-	5584	26		2	0010	119
HU	2003	0010	80		A2		2003	0828		HU :	2003-	1080			2	0010	119
HU	2003	0010	80		A3		2010	0428									
NZ	5210	88			A		2004	0528		NZ :	2001-	5210	88		2	0010	119
AU	2001	2267	94		B2		2005	0602		AU :	2001-	2267	94		2	0010	119
AT	3092	20			T		2005	1115		AT :	2001-	9011	76		2	0010	119
ES	2250	345			Т3		2006	0416		ES :	2001-	9011	76		2	0010	119
MX	2002	0076	61		A		2002	1213		MX :	2002-	7661			2	0020	807
US	2003	0087	926		A1		2003	0508		US :	2002-	2134	36		2	0020	807
US	6699	877			B2		2004	0302									
PRIORIT	Y APP	LN.	INFO	. :						DE :	2000-	1000	5302		A 2	0000	207
											2001-					0010	119
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OTHER SOURCE(S): MARPAT 135:180709

- The invention concerns substituted
  - 1,2,3,4-tetrahydroquinoline-2-carboxylic acid derivs., a method for the production of these derivs., their use in the production of medicaments and medicaments containing these compds. for use as analgesics.
- 354809-23-7P 354810-19-8P
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
  - (preparation as analgesics)
- RN 353484-48-7 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a, 4, 5, 9b-tetrahydro- (CA INDEX NAME)

RN 354809-23-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

RN 354810-19-8 CAPLUS

CN 5H-Indeno[2,1-c]quinoline-6-carboxylic acid, 1,3-dichloro-6,6a,7,11b-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD 6

(6 CITINGS) REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:543216 CAPLUS DOCUMENT NUMBER: 129:175562

129:35684h,35685a TITLE: Tricyclic tetrahydroquinoline derivatives and

tricyclic tetrahydroquinoline combinatorial libraries

INVENTOR(S): Hayes, Thomas K.; Kiely, John S. PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 119 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

ORIGINAL REFERENCE NO.:

1205
DE,
KR,
NZ,
, UG,
FR,
GA,
0204
1205
1205
1205
1205
PT,
0204
1205
1,,,,

The invention relates to novel tricyclic tetrahydroguinoline compds. I, their salts, and combinatorial libraries containing mixts, of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q; p, q = 0-6 but both cannot be 0; Ar = (un) substituted Ph or heteroary1; R2, R3, R4 = H, halo, (un) protected OH, cyano, NO2, (un) substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO2H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO2, alkylsulfonyl, alkylaminocarbonyl, PhNHCO; n = 1-3; Y = CO2H, OH, SH, NHR7, CONHR7, CH2OH, CH2NH2, CH2NHR7; R7 = H, (un)substituted alkyl, or functionalized resin; R1 must be present and R5  $\neq$  Ph when Y = COZH]. The invention also relates to the generation of such libraries. In 2 examples, libraries of 2774 and approx. 17,000 compds. I were prepared as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given. For instance, tea-bags of MBHA resin were each coupled with one of 19 aminobenzoic acids, such as 4-aminobenzoic acid. Diagnostic cleavage of each of these resins with HF gave 19 aminobenzamide controls in 34-99% yield. The 19 resins were mixed together and placed in new tea-bags, then condensed with

73 different aldehydes, and finally cyclized with cyclopentadiene. Cleavage of the resin-bound products with HF gave approx.  $73~\rm mixts.$  of  $38~\rm compds.$  (counting sep. enantiomers). Individual control samples of products, such as II [R5 = H, CH2CL, cyclohexyl, CO2H, (un)substituted Ph, etc.), were typically obtained in 50-1008 yield by reactions of pure, resin-bound 4-aminobenzoic acid control samples in sibling tea-bags. Potential applications of I (no data) may include use as antibacterials or analgesics.

211374-88-8P 211377-35-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (resin-cleavage control product; preparation of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

RN 211374-88-8 CAPLUS CN 3H-Cyclopentalclqui

3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(aminocarbonyl)-3a,4,5,9b-tetrahydro-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 211377-35-4 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-[3-amino-3-oxo-2-[(1-oxopropyl)amino]propyl]-3a,4,5,9b-tetrahydro-, (3aR, 45,9bs)-rel- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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2

14 15 16 17 18 19 20 21 22 ring nodes:
1 2 3 4 5 6 7 8 9 10 11 12 13 chain bonds:
1-19 9-14 10-17 14-15 14-18 15-16 19-20 19-21 21-22 ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 exact/norm bonds:
5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 exact bonds:
1-19 9-14 10-17 15-16 21-22 normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-18 19-20 19-21

Match level :

chain nodes :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS

## L4 STRUCTURE UPLOADED

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DICTIONARY FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7

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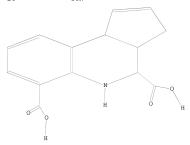
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=> d 14 L4 HAS NO ANSWERS L4 STR



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=> s 14 full FULL SEARCH INITIATED 22:42:47 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 273 TO ITERATE

100.0% PROCESSED 273 ITERATIONS SEARCH TIME: 00.00.01 2 ANSWERS

L5 2 SEA SSS FUL L4

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ENTRY SESSION FULL ESTIMATED COST 191.54 637.59

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IDE
      - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SOIDE3 - Same as SOIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN
EPROP - Table of experimental properties
PPROP - Table of predicted properties
PROP - EPROP, ETAG, PPROP
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CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL
IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
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it is available.
The MAX format is the same as ALL plus SPEC.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.
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messages:
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HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):
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RN
    354820-32-9 REGISTRY
     Entered STN: 05 Sep 2001
ED
CN
     3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid,
     3a, 4, 5, 9b-tetrahydro-9-nitro- (CA INDEX NAME)
MF
    C14 H12 N2 O6
SR
    Chemical Library
       Supplier: ChemBridge Corporation
LC
   STN Files: CHEMCATS
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#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN

RN 312713-97-6 REGISTRY

ED Entered STN: 04 Jan 2001

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-

(CA INDEX NAME) MF C14 H13 N O4

SR Chemical Library

Supplier: Interbioscreen Ltd.

LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPATFULL

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10 REFERENCES IN FILE CA (1907 TO DATE)

6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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(FILE 'HOME' ENTERED AT 22:36:20 ON 18 MAY 2010)

FILE 'REGISTRY' ENTERED AT 22:36:40 ON 18 MAY 2010

L1 STRUCTURE UPLOADED

L2 178 S L1 FULL

FILE 'CAPLUS' ENTERED AT 22:39:25 ON 18 MAY 2010 L3 43 S L2

L3 43 S L2 L4 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 22:42:23 ON 18 MAY 2010 L5 2 S L4 FULL

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FILE 'CAPLUS' ENTERED AT 22:42:54 ON 18 MAY 2010

FILE 'REGISTRY' ENTERED AT 22:43:31 ON 18 MAY 2010

#### FILE 'CAPLUS' ENTERED AT 22:43:34 ON 18 MAY 2010

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FILE COVERS 1907 - 18 May 2010 VOL 152 ISS 21 FILE LAST UPDATED: 17 May 2010 (20100517/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15 L6 10 L5

=> d 16 1-10 ibib abs hitstr

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:20794 CAPLUS

DOCUMENT NUMBER: 152:136788

TITLE: Heparan sulfate inhibitors

Crawford, Brett E.; Glass, Charles A.; Brown, Jillian INVENTOR(S): R.; Witt, Robert G.; Vollrath, Benedikt; Lichter, Jay

PATENT ASSIGNEE(S): Zacharon Pharmaceuticals, Inc., USA

PCT Int. Appl., 167pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.			ATE	
WO 201	00030	23		A2	-	2010			WO 2	009-	US49	450			0090	
W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
	CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
	ES,	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
	KE,	KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
	MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,
	PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW
RV	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
	IE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
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US 201	00048	638		A1		2010	0225		US 2	009-	4965	48		2	0090	701
PRIORITY AF	PLN.	INFO	. :						US 2	-800	7744	8P	1	P 2	0080	701
									US 2	009-	1599	76P	1	P 2	0090	313
									US 2	009-	1642	86P	1	P 2	0090	327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 152:136788

AB Provided herein are heparan sulfate inhibitors, including modulators of heparan sulfate glycosylation, heparan sulfate sulfation, and/or heparan sulfate epimerization. Provided in certain embodiments, herein is a process for modifying the structure of a glycosaminoglycan (e.g., heparan sulfate) on a core protein, comprising contacting a cell that translationally produces at least one core protein having at least one attached glycosaminoglycan (e.g., heparan sulfate) moiety with a selective inhibitor of glycosaminoglycan (e.g., heparan sulfate) biosynthesis, including a heparan sulfate glycosyltransferase, a heparan sulfate sulfotransferase, a heparan sulfate phosphotransferase, or a heparan sulfate epimerase. Provided in some embodiments herein is a process of inhibiting heparan sulfate function in a cell comprising contacting the cell with a selective modulator of heparan sulfate biosynthesis. In certain embodiments, the cell is present in a human diagnosed with cancer. Provided in certain embodiments herein is a method of treating a lysosomal storage disease.

T 312713-97-6

RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heparan sulfate inhibitors in relation to attachment to proteins for treatment of cancer and lysosomal storage disease) 312713-97-6 CAPUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

RN

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:523938 CAPLUS DOCUMENT NUMBER: 150:500577

TITLE: Cosmetic or dermatological composition comprising a

polymer bearing junction groups, and cosmetic

treatment method

SOURCE: PCT Int. Appl., 74pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
						-											
	WO 2009	0535	94		A2		2009	0430		WO 2	008-	FR51	795		2	0081	003
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		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	ΝA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
	FR 2921	.831			A1		2009	0410		FR 2	007-	5809	9		2	0071	005
PR	IORITY APE	LN.	INFO	.:						FR 2	007-	5809	9	- 2	A 2	0071	005
										US 2	007-	9847.	38P	1	P 2	0071	102
70 TO	The pro	oont.	200	1 4 0 0 1	+ +	wa l	2400	+ 0		amat.			~~~+.			001+	

- AB The present application relates to a cosmetic or dermatol. composition comprising, in a cosmetically or dermatol. acceptable medium, a polymer comprising: (a) a polymeric backbone capable of being obtained by reacting: -a polyol comprising 3 to 6 hydroxyl groups; -a monocarboxylic acid containing 6 to 32 carbon atoms; -a polyocarboxylic acid comprising at least two COOH carboxylic groups, and/or a cyclic anhydride of such a polycarboxylic acid and/or a lactone comprising at least one COOH carboxylic group; and (b) at least one junction group bonded to said polymeric backbone and capable of establishing H bonds with one or more partner junction groups, wherein each pairing of a junction group involves at least 3 H (hydrogen) bonds. The application also relates to a cosmetic treatment method using said composition Pentaerythrityl benzoate-isophthalate-isostearate was prepared and used in a lipstick at a
  - penzoate-isophinalate-isostearate was prepared and used in a lipstick at concentration of 30%.
    312713-97-6D, condensation polymers
    RE: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study);
    - USES (Uses)
      (Cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)
- RN 312713-97-6 CAPLUS
- CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:523807 CAPLUS

DOCUMENT NUMBER: 150:480205

TITLE: Composition containing a polycondensate, polycondensate and cosmetic treatment method

INVENTOR(S): Malle, Gerard

PATENT ASSIGNEE(S): Maile, Gerar L'Oreal, Fr.

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
	2009				A2 A3		2009 2009			WO 2	008-	FR51	788		2	0081	002
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KΡ,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA			
FR	2921	829			A1		2009	0410		FR 2	007-	5805	В		2	0071	004
PRIORIT	Y APP	LN.	INFO	. :						FR 2	007-	5805	8		A 2	0071	004
										US 2	007-	9847	36P	1	P 2	0071	102

AB The invention relates to a cosmetic or pharmaceutical composition, in particular a make-up composition, containing a polycondensate that can be obtained

by reacting: polyol having 3 to 6 hydroxyl groups; saturated or unsatd., non-aromatic monocarboxylic acid; aromatic monocarboxylic acid having 7 to 11 carbon atoms; and polycarboxylic acid selected from among polycarboxylic acids containing at least one heteroatom selected from 0, N and/or S, sugar-derived polycarboxylic acids, itaconic anhydride, 1,4-monoanhydride of 1,4,5,8-naphthalenetetracarboxylic acid and polycarboxylic amino acids, and/or the anhydrides thereof, and/or a lactone containing at least one COCH group. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.

IT 312713-97-6D, condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic compns. comprising condensation polymer and cosmetic treatment method)  $\ensuremath{\mathsf{T}}$ 

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN 2009:520016 CAPLUS

Patent

ACCESSION NUMBER: DOCUMENT NUMBER:

150:455845

TITLE:

Cosmetic or pharmaceutical composition containing a polycondensate, polycondensate and cosmetic treatment

method INVENTOR(S): Malle, Gerard PATENT ASSIGNEE(S): L'Oreal, Fr.

PCT Int. Appl., 46pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ WO 2009053584 A2 20090430 WO 2008-FR51782 20081002 WO 2009053584 A3 20091112 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA FR 2921828 A1 20090410 FR 2007-58057 20071004 PRIORITY APPLN. INFO .: FR 2007-58057 A 20071004 US 2007-984739P P 20071102

- The invention relates to a cosmetic or pharmaceutical composition containing a polycondensate that can be obtained by reacting the following single monomers expressed as a percent by weight in relation to the total weight over the polycondensate: 10 - 30 weight-% of one or more poylols having 3 to 6 hydroxyl groups; 30 - 80 weight-% of one or more linear, branched and/or cyclic, saturated or unsatd., non-aromatic monocarboxylic acids having 6 to 32 carbon atoms; 1 - 40 weight-% of one or more polycarboxylic acids and/or cyclic anhydrides of one such polycarboxylic acid and/or lactones having at least one COOH group; and, optionally, 0.1 - 15 weight-% of one or more silicons having a hydroxyl and/or carboxylic function. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.
- 312713-97-6DP, condensation polymers RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (cosmetic or pharmaceutical composition including a polyol-carboxylic acid

condensation polymer)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427447 CAPLUS

DOCUMENT NUMBER: 150:430676

TITLE: Cosmetic or pharmaceutical composition including a condensation polymer, the aforementioned condensation

polymer and cosmetic treatment method

INVENTOR(S): Malle, Gerard

PATENT ASSIGNEE(S): L'Oreal, Fr. SOURCE: Fr. Demande, 46pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT :				KIN	D	DATE				ICAT					ATE	
						_											
FR	2921	828			A1		2009	0410		FR 2	007-	5805	7		2	0071	004
WO	2009	0535	84		A2		2009	0430		WO 2	008-	FR51	782		2	0081	002
WO	2009	0535	84		A3		2009	1112									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA			
PRIORIT	Y APP	LN.	INFO	. :						FR 2	007-	5805	7	- 2	A 2	0071	004
										US 2	007-	9847	39P	1	P 2	0071	102

AB The present request relates to a cosmetic or pharmaceutical composition including a condensation polymer likely to be obtained by reaction of the monomeric following: - from 10 to 30% in weight, compared to the total weight

condensation polymer, of one or more polyols including 3 to 6 hydroxyl groups; - from 30 to 80% in weight, compared to the weight total of condensation

polymer, of one or more nonarom. monocarboxylic acids, saturated or unsatd., linear, ramified and/or cyclic, including 6 to 32 carbon atoms; — from 1 to 40% in weight, compared to the total weight of condensation polymer, of one or more polycarboxylic acids and/or cyclic anhydrides of such including

polycarboxylic acids and/or lactones at least one COOH; plus an optional group, from 0.1 to 19% in weight compared to the total of condensation polymer, of one or more silicones with hydroxyl and/or carboxylic function. The request also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

T 312713-97-6DP, condensation polymers

RL: COS (Cosmetic use); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RN 312713-97-6 CAPLUS

AN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

7

ACCESSION NUMBER: 2009:427446 CAPLUS

DOCUMENT NUMBER: 150:430675
TITLE: Cosmetic compositions comprising a condensation

polymer and a cosmetic treatment method

INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: Fr. Demande, 49pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent
LANGUAGE: French

LANGUAGE: Fr FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PRI

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
															_		
FR	2921	829			A1		2009	0410		FR 2	007-	5805	8		2	0071	004
WO	2009	0535	87		A2		2009	0430		WO 2	008-	FR51	788		2	0081	002
WO	2009	0535	87		A3		2009	0625									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SΕ,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	ΤT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,									
RITY	APP	LN.	INFO	. :						FR 2	007-	5805	8				
										US 2	007-	9847	36P		P 2	0071	102

- AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a nonarom., saturated or unsatd. monocarboxylic acid; of an aromatic monocarboxylic acid (7-11 carbon atoms); and of polycarboxylic acids containing at least a heteroatom chosen from O, N, and/or S, from sugars, and polycarboxylic amino acids and/or their anhydrides, and/or a lactone. The invention also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.
  - 312713-97-6D, condensation polymers RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427444 CAPLUS

DOCUMENT NUMBER: 150:430673

TITLE: Cosmetic or dermatological composition including a polymer with linking groups, and a cosmetic treatment method

INVENTOR(S): Chodorowski, Kimmes Sandrine; Giustiniani, Pascal PATENT ASSIGNEE(S):

L'Oreal, Fr.

SOURCE: Fr. Demande, 62pp. CODEN: FRXXBL

DOCUMENT TYPE: Pat.ent. LANGUAGE: French FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	.00		D	ATE	
FR	2921	831			A1		2009				007-					0071	
WO	2009	0535	94		A2		2009	0430		WO 2	008-1	FR51	795		2	0081	003
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

A 20071005 PRIORITY APPLN. INFO.: FR 2007-58099 US 2007-984738P P 20071102

AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a monocarboxylic acid (6-32 carbon atoms); and of polycarboxylic acids containing at least 2 CO2H groups and/or their cyclic anhydrides, and/or their lactones, and a group connected to the polymer chain by H bonds. The invention also relates to a cosmetic process of treatment employing the aforementioned composition

312713-97-6D, condensation polymers

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)

312713-97-6 CAPLUS RN

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:971074 CAPLUS

DOCUMENT NUMBER: 146:454203

TITLE: Selective inhibitors of bacterial DNA adenine

methyltransferases

AUTHOR(S): Mashhoon, Neda; Pruss, Cynthia; Carroll, Michael; Johnson, Paul H.; Reich, Norbert O.

CORPORATE SOURCE: Pacific Technology Center, EpiGenX Pharmaceuticals,

Santa Barbara, CA, USA SOURCE: Journal of Biomolecular Screening (2006), 11(5),

497-510

CODEN: JBISF3; ISSN: 1087-0571

PUBLISHER: Sage Publications

DOCUMENT TYPE: Journal LANGUAGE: English

AB The authors describe the discovery and characterization of several structural classes of small-mol. inhibitors of bacterial DNA adenine methyltransferases. These enzymes are essential for bacterial virulence (DNA adenine methyltransferase [DAM]) and cell viability (cell cycle-regulated methyltransferase [CcrM]). Using a novel high-throughput fluorescence-based assay and recombinant DAM and CcrM, the authors screened a diverse chemical library. They identified 5 major structural classes of inhibitors composed of more than 350 compds.: cyclopentaquinolines, Ph vinyl furans, pyrimidine-diones, thiazolidine-4-ones, and phenyl-pyrroles. DNA binding assays were used to identify compds. that interact directly with DNA. Potent compds.

selective for the bacterial target were identified, whereas other compds.

showed greater selectivity for the mammalian DNA cytosine

methyltransferase, Dnmtl. Enzyme inhibition anal. identified mechanistically distinct compds. that interfered with DNA or cofactor binding. Selected compds. demonstrated cell-based efficacy. These small-mol. DNA methyltransferase inhibitors provide useful reagents to probe the role of DNA methylation and may form the basis of developing novel antibiotics.

312713-97-6

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective inhibitors of bacterial DNA adenine methyltransferases)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

AUTHOR(S):

SOURCE:

OS.CITING REF COUNT: THERE ARE 14 CAPLUS RECORDS THAT CITE THIS 14

RECORD (14 CITINGS)

REFERENCE COUNT: THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS 34 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:334327 CAPLUS

DOCUMENT NUMBER: 145:42075

TITLE: Crystal structures and inhibitor identification for

PTPN5, PTPRR and PTPN7: a family of human

MAPK-specific protein tyrosine phosphatases

Eswaran, Jeyanthy; von Kries, Jens Peter; Marsden, Brian; Longman, Emma; Debreczeni, Judit E.; Ugochukwu,

Emilie; Turnbull, Andrew; Lee, Wen Hwa; Knapp, Stefan; Barr, Alastair J.

Structural Genomics Consortium, Botnar Research CORPORATE SOURCE:

Centre, University of Oxford, Oxford, OX3 7LD, UK

Biochemical Journal (2006), 395(3), 483-491

CODEN: BIJOAK: ISSN: 0264-6021

PUBLISHER: Portland Press Ltd.

DOCUMENT TYPE: Journal LANGUAGE:

English Protein tyrosine phosphatases PTPN5, PTPRR and PTPN7 comprise a family of AB phosphatases that specifically inactivate MAPKs (mitogen-activated protein kinases). We have determined high-resolution structures of all of the human family members, screened them against a library of 24000 compds. and identified two classes of inhibitors, cyclopenta[c]quinolinecarboxylic acids and 2,5-dimethylpyrrolyl benzoic acids. Comparative structural anal. revealed significant differences within this conserved family that could be explored for the design of selective inhibitors. PTPN5 crystallized, in two distinct crystal forms, with a sulfate ion in close proximity to the active site and the WPD (Trp-Pro-Asp) loop in a unique conformation, not seen in other PTPs, ending in a 310-helix. In the PTPN7 structure, the WPD loop was in the closed conformation and part of the KIM (kinase-interaction motif) was visible, which forms an N-terminal aliphatic helix with the phosphorylation site Thr66 in an accessible position. The WPD loop of PTPRR was open; however, in contrast with the structure of its

mouse homolog, PTPSI, a salt bridge between the conserved lysine and aspartate residues, which has been postulated to confer a more rigid loop structure, thereby modulating activity in PTPSI, does not form in PTPRR. One of the identified inhibitor scaffolds, cyclopenta[c]quinoline, was docked successfully into PTPRR, suggesting several possibilities for hit expansion. The determined structures together with the established SAR (structure-activity relationship) propose new avenues for the development of selective inhibitors that may have therapeutic potential for treating neurodegenerative diseases in the case of PTPRR or acute myeloblastic leukemia targeting PTPN7.

T 312713-97-6

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(human KIM domain-containing PTPN5, PTPRR and PTPN7 neg. regulate MAPK signaling)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-(CA INDEX NAME)

OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS

RECORD (15 CITINGS)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2004:835560 CAPLUS

DOCUMENT NUMBER: 142:34366

TITLE: Discovery and characterization of novel small molecule

inhibitors of human Cdc25B dual specificity

AUTHOR(S): Brisson, Ma

Brisson, Marni; Nguyen, Theresa; Vogt, Andreas;

Yalowich, Jack; Giorgianni, Angela; Tobi, Dror; Bahar, Ivet; Stephenson, Corey R. J.; Wipf, Peter; Lazo, John

CORPORATE SOURCE: Department of Pharmacology and the Fiske Drug
Discovery Laboratory, University of Pittsburgh,

Pittsburgh, PA, USA

SOURCE: Molecular Pharmacology (2004), 66(4), 824-833

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:34366

B Cdc25A and Cdc25B dual-specificity phosphatases are key regulators of cell cycle transition and proliferation. They have oncogenic properties and are over-expressed in many human tumors. Because selective Cdc25 phosphatase inhibitors would be valuable biol. tools and possible therapeutic agents, we have assayed a small mol. library for in vitro inhibition of Cdc25. We now report the identification of two new structurally distinct classes of Cdc25 inhibitors with cellular activity.

The cyclopentaquinoline 3a, 4, 5, 9b-tetrahydro-3H-cyclopenta[c]quinoline-4, 8dicarboxylic acid (5661118) and the naphthofurandione 3-benzoyl-naphtho[1,2-b]furan-4,5-dione (5169131) had in vitro IC50 values of 2.5 to 11 µM against recombinant Cdc25 and were less potent inhibitors of other phosphatases. Unlike 5661118, 5169131 caused reversible inhibition of Cdc25B and displayed competitive inhibitor kinetics. No growth inhibitory activity was seen with 5661118, whereas 10 to 30 µM 5169131 caused G1/S and G2/M arrest. We also found that 5169131 inhibited human PC-3 prostate and MDA-MB-435 breast cancer cell proliferation. Concentration-dependent Tvr15 hyperphosphorylation was seen on cyclin-dependent kinase with a 1-h 5169131 treatment, consistent with Cdc25 inhibition. Cells resistant to DNA topoisomerase II inhibitors were as sensitive to 5169131 as parental cells, indicating that this quinone compound does not inhibit topoisomerase II in vivo. Mol. modeling was used to predict a potential interaction site between the inhibitor and Cdc25B and to provide insights as to the mol. origins of the exptl. observations. Based on its kinetic profile and cellular activity, we suggest that 5169131 could be an excellent tool for further studies on the cellular roles of Cdc25.

IT 312713-97-6

CN

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (discovery and characterization of novel small mol. inhibitors of human Cdc25B dual spec

RN 312713-97-6 CAPLUS

3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-

OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS

RECORD (41 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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